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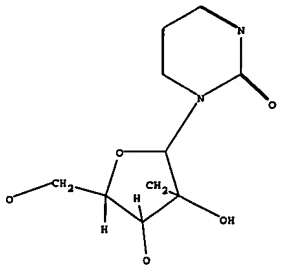
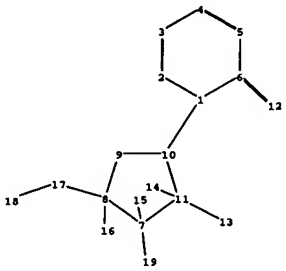
10/609,298





chain nodes :
12 13 14 15 16 17 18 19
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
1-10 6-12 7-15 7-19 8-16 8-17 11-13 11-14 17-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11
exact/norm bonds :
1-2 1-6 1-10 2-3 3-4 4-5 5-6 6-12 7-8 7-11 7-19 8-9 9-10 10-11 11-13
exact bonds :
7-15 8-16 8-17 11-14 17-18

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS

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PASSWORD:
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NEWS 12	JUN 28	Price changes in full-text patent databases EPFULL and PCTFULL
NEWS 13	JUl 07	Coverage of Research Disclosure reinstated in DWPI

NEWS EXPRESS	JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
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FILE 'HOME' ENTERED AT 13:34:50 ON 10 JUL 2006

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 13:35:17 ON 10 JUL 2006
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DICTIONARY FILE UPDATES: 9 JUL 2006 HIGHEST RN 891170-23-3

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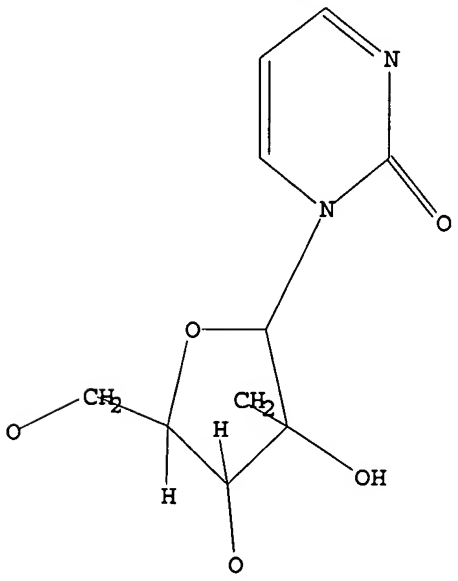
http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10609298.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam
SAMPLE SEARCH INITIATED 13:35:34 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 12 TO ITERATE

100.0% PROCESSED 12 ITERATIONS 6 ANSWERS

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SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:           33 TO      447
PROJECTED ANSWERS:               6 TO      266

L2            6 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 13:35:38 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -       296 TO ITERATE

100.0% PROCESSED      296 ITERATIONS                              142 ANSWERS
SEARCH TIME: 00.00.01

L3          142 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   166.94     167.15

FILE 'CAPLUS' ENTERED AT 13:35:43 ON 10 JUL 2006
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FILE COVERS 1907 - 10 Jul 2006  VOL 145 ISS 3
FILE LAST UPDATED: 9 Jul 2006  (20060709/ED)

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=> s l3 and hepatitis
            68 L3
         53791 HEPATITIS
L4          16 L3 AND HEPATITIS

=> s l3 and flaviviridae
            68 L3
           452 FLAVIVIRIDAE
L5           8 L3 AND FLAVIVIRIDAE

=> s l3 and flavivirus
            68 L3
          1371 FLAVIVIRUS
           687 FLAVIVIRUSES
          1599 FLAVIVIRUS
```

```
                (FLAVIVIRUS OR FLAVIVIRUSES)
L6           4 L3 AND FLAVIVIRUS

=> s l3 and (hcv or hbv)
            68 L3
         10866 HCV
            20 HCVS
         10870 HCV
                (HCV OR HCVS)
          9114 HBV
            61 HBVS
          9130 HBV
                (HBV OR HBVS)
L7          17 L3 AND (HCV OR HBV)

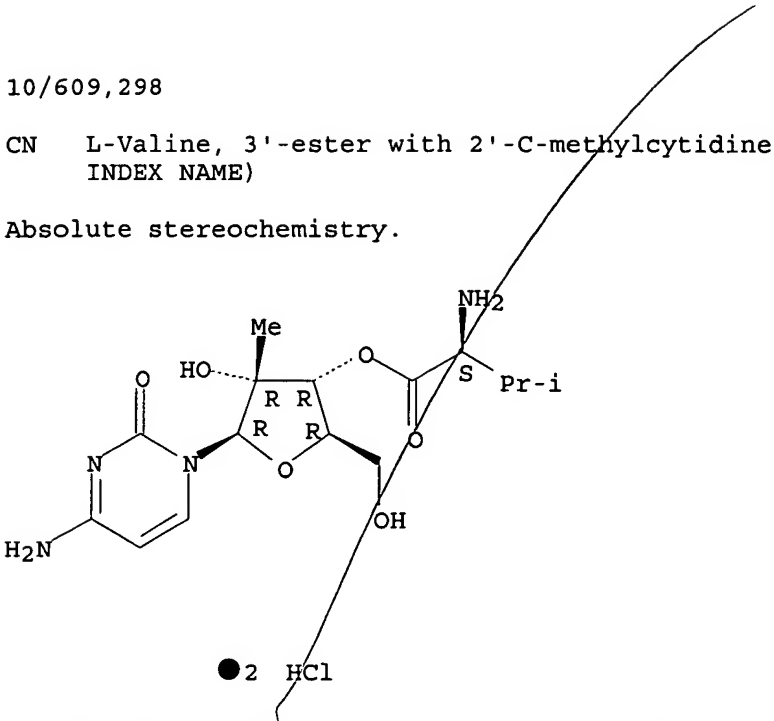
=> s l4 or l5 or l6 or l7
L8          23 L4 OR L5 OR L6 OR L7

=> d bib abs hitstr 1-23 18

L8   ANSWER 1 OF 23  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2006:425398  CAPLUS
DN   145:39734
TI   Nucleoside analog inhibitors of hepatitis C virus replication
AU   Carroll, S. S.; Olsen, D. B.
CS   Department of Antiviral Research, Merck Research Laboratories, West Point,
     PA, 19486, USA
SO   Infectious Disorders: Drug Targets (2006), 6(1), 17-29
     CODEN: IDDTAD; ISSN: 1871-5265
PB   Bentham Science Publishers Ltd.
DT   Journal; General Review
LA   English
AB   A review.  Of the 30 compds. currently marketed in the United States for
     treatment of viral infections, 15 are nucleoside analogs, demonstrating
     the utility of this class of compound as a source of antiviral drugs.  The
     success of nucleoside analogs in treating other viral infections provides
     a compelling rationale for the significant effort that is currently being
     devoted to the discovery and development of nucleoside analogs to treat
     infection by hepatitis C virus (HCV) that may lead to
     improvements in response rates compared to currently available therapies.
     Several different approaches were adopted to identify promising analogs,
     including the use of surrogate viruses in cell culture assays, screening
     in the cell-based bicistronic HCV replicon assay, and screening
     nucleoside triphosphates for the ability to inhibit the activity of the
     HCV RNA-dependent RNA polymerase in vitro.  Several classes of
     ribonucleoside analogs with modifications of the ribose inhibit
     HCV replication.  Nucleoside analogs incorporating a 2'-C-Me
     modification are potent inhibitors in the replicon assay in the absence of
     cytotoxicity, and appear to exert their inhibition by acting as functional
     chain terminators of RNA synthesis.  NM283, a prodrug of
     2'-C-methylcytidine, has entered clin. trials and demonstrated viral load
     redns. in subjects infected with genotype 1 HCV, a genotype
     known to be difficult to treat effectively with currently approved
     therapies.  Overall, results to date offer encouragement that improved
     therapies to treat HCV infection including newly developed
     nucleoside analogs may become available within the next few years.
IT   640725-71-9, NM 283
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (nucleoside analog inhibitors of hepatitis C virus
        replication)
RN   640725-71-9  CAPLUS
```

CN L-Valine, 3'-ester with 2'-C-methylcytidine, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 65 THERE ARE 65 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:342840 CAPLUS
DN 144:381956
TI Combination antiviral compositions comprising castanospermine and use for the treatment and prevention of infections caused by or associated with a virus of the Flaviviridae family
IN Dugourd, Dominique
PA Migenix Inc., Can.
SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006037227	A1	20060413	WO 2005-CA1528	20051006
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006093577	A1	20060504	US 2005-244811	20051006
PRAI US 2004-616787P	P	20041006		

AB The invention discloses the use of castanospermine in combination with another therapeutic agent to treat or prevent infections caused by or associated with a virus of the Flaviviridae family, particularly infections caused by or associated with Hepatitis C virus (HCV), and to the use of such compds. to examine the biol. mechanisms of HCV infection.

IT 882489-96-5
RL: BSU (Biological study, unclassified); BIOL (Biological study)

(castanospermine-containing combination antiviral compns., and use for treatment of Flaviviridae infections)

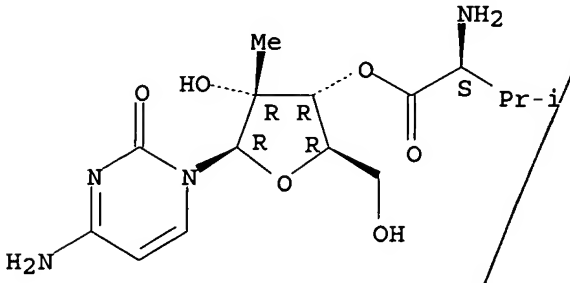
RN 882489-96-5 CAPLUS

CN L-Valine, 3'-ester with 2'-C-methylcytidine, mixt. with (1S,6S,7R,8R,8aR)-octahydro-1,6,7,8-indolizinetetrol (9CI) (CA INDEX NAME)

CM 1

CRN 640281-90-9
CMF C15 H24 N4 O6

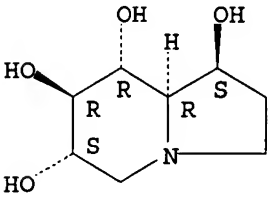
Absolute stereochemistry.



CM 2

CRN 79831-76-8
CMF C8 H15 N O4

Absolute stereochemistry. Rotation (+).

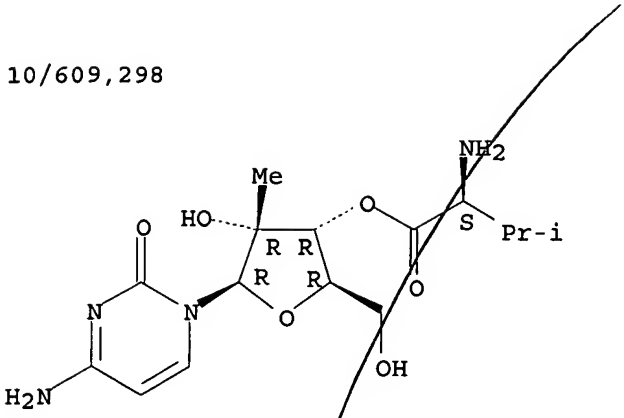


IT 640281-90-9, Valopicitabine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(castanospermine-containing combination antiviral compns., and use for treatment of Flaviviridae infections)

RN 640281-90-9 CAPLUS

CN L-Valine, 3'-ester with 2'-C-methylcytidine (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:296019 CAPLUS
DN 144:312290
TI Preparation of nucleoside derivatives as antiviral, antitumor, and antidiabetic prodrug agents
IN Reddy, Raja K.; Erion, Mark D.
PA Metabasis Therapeutics, Inc., USA
SO PCT Int. Appl., 255 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006033709	A2	20060330	WO 2005-US27235	20050729
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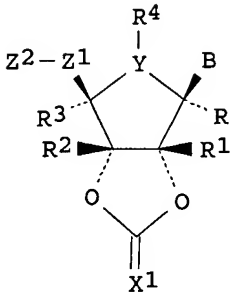
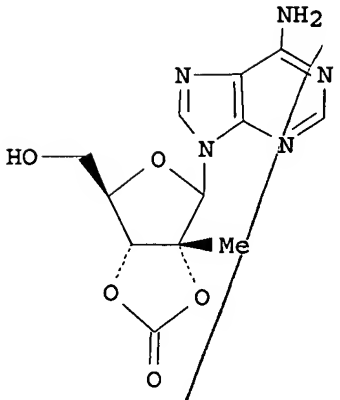
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	US 2005182252	A1	20050818	US 2004-903215	20040729
PRAI	US 2004-903215	A	20040729		
	US 2005-652527P	P	20050211		
	US 2004-544743P	P	20040213		

OS MARPAT 144:312290
GI



I



II

AB Nucleoside derivs. I, wherein X1 is O, S, SO, substituted nitrogen; B is heterocycle, nucleobase; Y is O, S, N, substituted C, CH2; R and R1 are independently H, alkyl,l alkenyl, alkynyl, R2 is H, alky;, alkenyl, alkynyl, alkylamino, cycloalkyl-amino, halogen, alkoxy; R3 is H, halogen, alkyl, alkoxy, alkenyl-oxy, alkylthio, alkylcarbonyl-oxy, aryloxy-carbonyl, azido, amino, alkylamino; R4 is H, alkyl, alkenyl, alkynyl, OH, alkoxy, halogen, CN, were prepared and tested in vitro and in rats for the treatment of viral diseases including hepatitis C viral infection, cancer, diabetes, and other diseases. The activation of prodrug analogs to NMP was evaluated in the microsomal fraction of human liver. The HepDirect-carbonate prodrugs evaluated were activated to the corresponding NMP in human liver microsomes, indicating that the enzymes required for removal of both the HepDirect and the carbonate prodrug moieties are present in this reaction system. Thus, nucleoside II was prepared via coupling and hydrogen transfer reactions and tested in vitro and in rats as antiviral, antitumor, and antidiabetic prodrug agents. The oral bioavailability (OBAV) of the free nucleoside is very low (<5 %) whereas the OBAV of its carbonate prodrugs are >20 %. The compds. of the present invention may also be administered in combination with an agent that is an inhibitor of HCV NS3 serine protease.

IT 879494-56-1P 879494-58-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside derivs. via coupling and hydrogen transfer reactions as antiviral, antitumor, and antidiabetic prodrug agents)

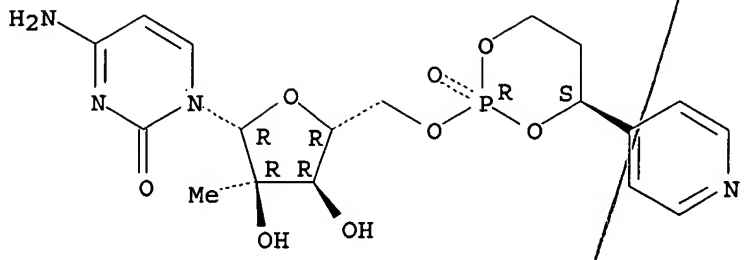
RN 879494-56-1 CAPLUS

CN Cytidine, 2'-C-methyl-5'-O-[(2R,4S)-2-oxido-4-(4-pyridinyl)-1,3,2-dioxaphosphorinan-2-yl]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

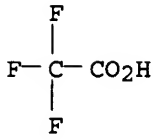
CRN 879494-55-0
CMF C18 H23 N4 O8 P

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

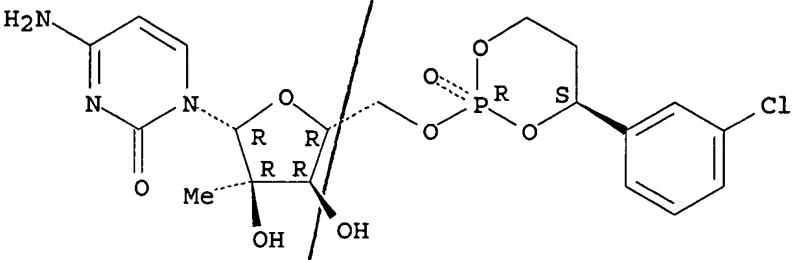


RN 879494-58-3 CAPLUS
CN Cytidine, 5'-O-[(2R,4S)-4-(3-chlorophenyl)-2-oxido-1,3,2-dioxaphosphorinan-2-yl]-2'-C-methyl-, trifluoroacetate (10:3) (salt) (9CI) (CA INDEX NAME)

CM 1

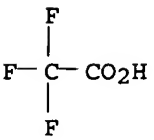
CRN 879494-57-2
CMF C19 H23 Cl N3 O8 P

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



L8 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:149315 CAPLUS
DN 144:205728
TI Methods using a Type II interferon receptor agonist alone or in combination with a direct antiviral drug for treating hepatitis C virus infection
IN Blatt, Lawrence M.
PA Intermune, Inc., USA
SO PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006016930	A2	20060216	WO 2005-US16927	20050513

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

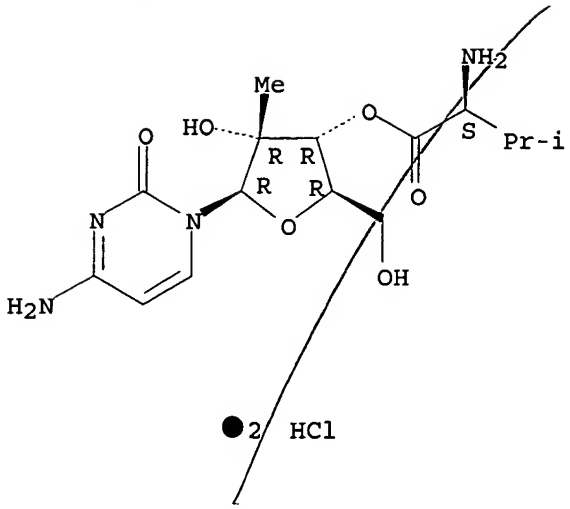
PRAI US 2004-571322P P 20040514

AB The invention provides methods for treating hepatitis C virus (HCV) infection; methods for reducing the incidence of complications associated with HCV and cirrhosis of the liver; and methods for reducing viral load, or reducing the time to viral clearance, or reducing morbidity or mortality in the clin. outcomes, in patients suffering from HCV infection. The methods generally involve administering to the individual a Type II interferon receptor agonist alone or in combination with a direct antiviral drug.

IT 640725-71-9, NM 283
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(type II interferon receptor agonist alone or in combination with direct antiviral drug for treating hepatitis C virus infection)

RN 640725-71-9 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

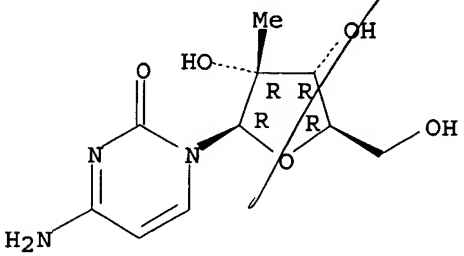


L8 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:136728 CAPLUS
DN 144:324202
TI The Novel Nucleoside Analog R1479 (4'-Azidocytidine) Is a Potent Inhibitor of NS5B-dependent RNA Synthesis and Hepatitis C Virus Replication in Cell Culture
AU Klumpp, Klaus; Leveque, Vincent; Le Pogam, Sophie; Ma, Han; Jiang, Wen-Rong; Kang, Hyunsoon; Granycome, Caroline; Singer, Margaret; Laxton, Carl; Hang, Julie Qi; Sarma, Keshab; Smith, David B.; Heindl, Dieter; Hobbs, Chris J.; Merrett, John H.; Symons, Julian; Cammack, Nick; Martin, Joseph A.; Devos, Rene; Najera, Isabel
CS Roche Palo Alto LLC, Palo Alto, CA, 94304, USA
SO Journal of Biological Chemistry (2006), 281(7), 3793-3799
CODEN: JBCHA3; ISSN: 0021-9258
PB American Society for Biochemistry and Molecular Biology
DT Journal
LA English
AB Hepatitis C virus (HCV) polymerase activity is essential for HCV replication. Targeted screening of nucleoside analogs identified R1479 (4'-azidocytidine) as a specific inhibitor of HCV replication in the HCV subgenomic replicon system (IC50 = 1.28 μM) with similar potency compared with 2'-C-methylcytidine (IC50 = 1.13 μM). R1479 showed no effect on cell viability or proliferation of HCV replicon or Huh-7 cells at concns. up to 2 mM. HCV replicon RNA could be fully cleared from replicon cells after prolonged incubation with R1479. The corresponding 5'-triphosphate derivative (R1479-TP) is a potent inhibitor of native HCV replicase isolated from replicon cells and of recombinant HCV polymerase (NS5B)-mediated RNA synthesis activity. R1479-TP inhibited RNA synthesis as a CTP-competitive inhibitor with a Ki of 40 nM. On an HCV RNA-derived template substrate (complementary internal ribosome entry site), R1479-TP showed similar potency of NS5B inhibition compared with 3'-dCTP. R1479-TP was incorporated into nascent RNA by HCV polymerase and reduced further elongation with similar efficiency compared with 3'-dCTP under the reaction conditions. The S282T point mutation in the coding sequence of NS5B confers resistance to inhibition by 2'-C-MeATP and other 2'-methyl-nucleotides. In contrast, the S282T mutation did not confer cross-resistance to R1479.
IT 20724-73-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel nucleoside analog R1479 (4'-azidocytidine) is a potent inhibitor of NS5B-dependent RNA synthesis and hepatitis C virus replication in cell culture)
RN 20724-73-6 CAPLUS

10/609,298

CN Cytidine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:103884 CAPLUS
DN 144:171198
TI Preparation of alkyl-substituted 2-deoxy-2-fluoro-D-ribofuranosyl pyrimidine and purine nucleoside analogs via condensation of the lactone to nucleosides as potential antiviral agents
IN Wang, Peiyuan; Stec, Wojciech; Clark, Jeremy; Chun, Byoung-Kwon; Shi, Junxing; Du, Jinfa
PA Pharmasset, Inc., USA
SO PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006012440	A2	20060202	WO 2005-US25916	20050721
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	US 2005277598	A1	20051215	US 2005-118613	20050429
PRAI	US 2004-589866P	P	20040721		
	US 2004-608320P	P	20040909		
	US 2004-566584P	P	20040429		

OS MARPAT 144:171198
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A process for preparing of 2-deoxy-2-fluoro-2-methyl-D-ribonolactones, I, wherein R1 and R2 can independently be H, CH3, acetyl, benzoyl, pivaloyl, 4-nitrobenzoyl, 3-nitrobenzoyl, 2-nitrobenzoyl, 4-chlorobenzoyl,

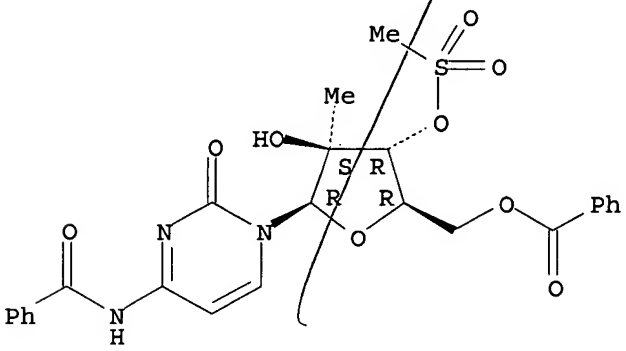
3-chlorobenzoyl, 2-chlorobenzoyl, 4-methylbenzoyl, 3-methylbenzoyl, 2-methylbenzoyl, 4-phenylbenzoyl, benzyl, 4-methoxybenzyl, trityl, trialkylsilyl, t-butyl-dialkylsilyl, t-butyldiphenylsilyl, TIPDS, THP, MOM, or MEM are prepared and used in the condensation to 2-deoxy-2-fluoro-D-ribofuranosyl pyrimidine and purine nucleoside analogs. Thus, 2-deoxy-2-fluoro-D-ribofuranosyl pyrimidine and purine nucleoside analogs II and III, wherein X is a halogen; Y is N or CH; Z is a halogen, hydroxyl, ether, thiol, thioether, (un)substituted amine or alkyl; R1' is alkyl, vinyl, ethynyl; R2' and R3' can be same or different H, alkyl, arylalkyl, acyl, cyclic acetal such as 2',3'-O-isopropylidene or 2',3-O-benzylidene, or 2',3'-cyclic carbonate; R4, R5, and R6 are independently H, halogen, hydroxyl, ether, thiol, thioether, N3, (un)substituted amine, (un)substituted amido, alkyl, halogenated alkyl, alkenyl, halogenated alkenyl, alkynyl, halogenated alkynyl, hydroxy alkyl, alkoxy are prepared and are potential anti-HCV agents. Specifically, IV was prepared (no yield, claimed) via condensation, alkylation and stereoselective fluorination reactions and can exhibit potential use as an anti-HCV agent.

IT 874638-81-0P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of alkyl-substituted 2-deoxy-2-fluoro-D-ribofuranosyl pyrimidine and purine nucleoside analogs via condensation of the lactone to nucleosides)

RN 874638-81-0 CAPLUS

CN Benzamide, N-[1-[5-O-benzoyl-2-C-methyl-3-O-(methylsulfonyl)-β-D-arabinofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:684531 CAPLUS

DN 143:431740

TI Emerging drugs for chronic hepatitis C

AU Bhopale, Girish Mahadeorao; Nanda, Rabindra Kumar

CS Research and Development Division, Hindustan Antibiotics Limited, Pimpri, Pune, 411018, India

SO Hepatology Research (2005), 32(3), 146-153
CODEN: HPRSFM; ISSN: 1386-6346

PB Elsevier B.V.

DT Journal; General Review

LA English

AB A review. Hepatitis C virus (HCV) is a major cause of chronic hepatitis, liver cirrhosis and hepatocellular carcinoma worldwide. A combination therapy comprising pegylated interferon and ribavirin currently represents the most effective therapy for chronic HCV infection. The limitations of this current therapy mainly its

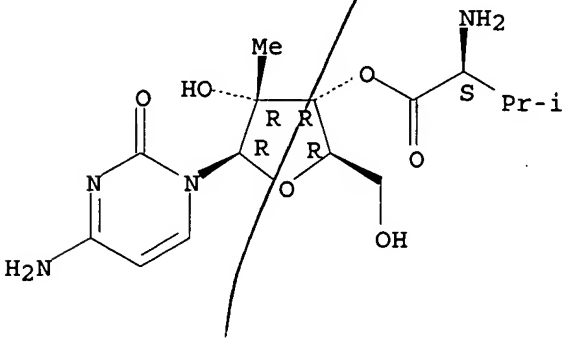
efficacy and significant side effects have prompted the development of new drugs. Few categories of therapeutic agents appear promising for future therapy, e.g. novel interferons, ribavirin analogs, antisense oligonucleotides, short interfering RNAs, ribozymes, enzyme inhibitors, immunomodulatory agents, antifibrotic agents, therapeutic vaccines and antibodies. Few drugs belong to afore-mentioned categories have already reached the different clin. phases of development. The present article highlights the status of current available therapies and emerging drugs for the treatment of hepatitis C.

IT 640725-71-9, NM 283
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(NM283 proved promising therapeutic effect in treating chronic hepatitis C patient)

RN 640725-71-9 CAPLUS

CN L-Valine, 3'-ester with 2'-C-methylcytidine, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

RE.CNT 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:648160 CAPLUS

DN 143:248607

TI Design, Synthesis, and Antiviral Activity of 2'-Deoxy-2'-fluoro-2'-C-methyl-cytidine, a Potent Inhibitor of Hepatitis C Virus Replication

AU Clark, Jeremy L.; Hollecker, Laurent; Mason, J. Christian; Stuyver, Lieven J.; Tharnish, Phillip M.; Lostia, Stefania; McBrayer, Tamara R.; Schinazi, Raymond F.; Watanabe, Kyoichi A.; Otto, Michael J.; Furman, Phillip A.; Stec, Wojciech J.; Patterson, Steven E.; Pankiewicz, Krzysztof W.

CS Pharmasset, Inc., Princeton, NJ, 08540, USA

SO Journal of Medicinal Chemistry (2005), 48(17), 5504-5508
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AB The pyrimidine nucleoside- β-D-2'-deoxy-2'-fluoro-2'-C-methylcytidine (I) was designed as a hepatitis C virus RNA-dependent RNA polymerase (HCV RdRp) inhibitor. The title compound was obtained by a DAST fluorination of N4-benzoyl-1-(2-methyl-3,5-di-O-benzoyl-β-D-arabinofuranosyl)cytosine to provide N4-benzoyl-1-(2-fluoro-2-methyl-3,5-di-O-benzoyl-β-D-ribofuranosyl)cytosine. The protected 2'-C-methylcytidine was obtained as a byproduct from the DAST fluorination

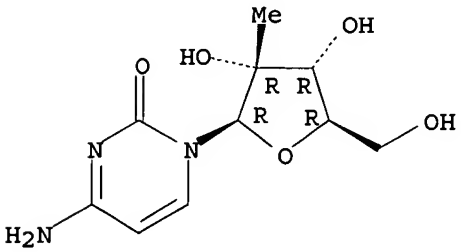
and allowed for the preparation of two biol. active compds. from a common precursor. Compound I and 2'-C-methylcytidine were assayed in a sub-genomic HCV replicon assay system and found to be potent and selective inhibitors of HCV replication. Compd.I shows increased inhibitory activity in the HCV replicon assay compared to 2'-C-methylcytidine and low cellular toxicity.

IT 20724-73-6
RL: PAC (Pharmacological activity); BIOL (Biological study)
(design, synthesis via fluorination, and antiviral activity of 2'-deoxy-2'-fluoro-2'-C-methyl-cytidine, a potent inhibitor of Hepatitis C virus replication)

RN 20724-73-6 CAPLUS

CN Cytidine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.

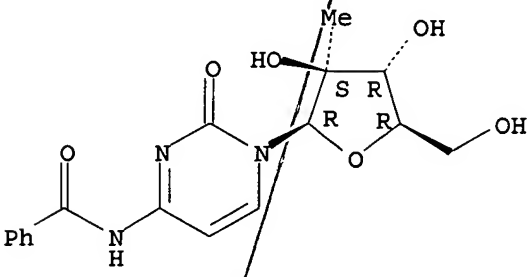


IT 817204-35-6P 863329-62-8P 863329-64-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(design, synthesis via fluorination, and antiviral activity of 2'-deoxy-2'-fluoro-2'-C-methyl-cytidine, a potent inhibitor of Hepatitis C virus replication)

RN 817204-35-6 CAPLUS

CN Benzamide, N-[1,2-dihydro-1-(2-C-methyl-β-D-arabinofuranosyl)-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

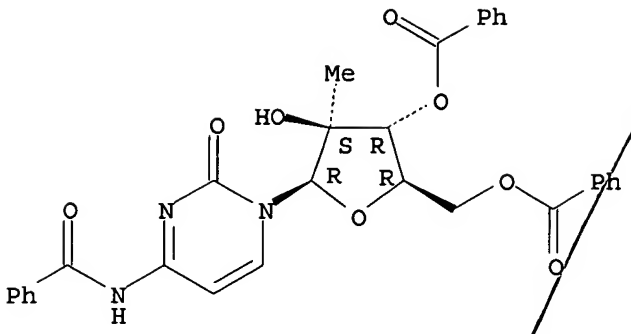
Absolute stereochemistry. Rotation (+).



RN 863329-62-8 CAPLUS

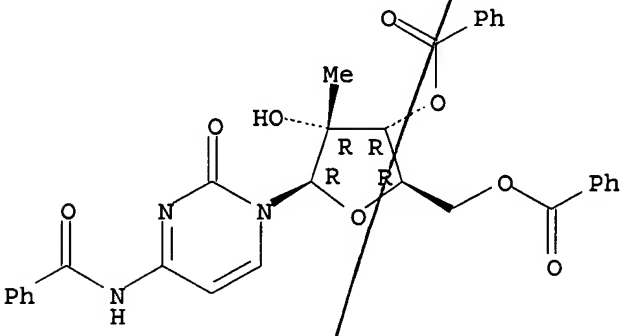
CN Benzamide, N-[1-(3,5-di-O-benzoyl-2-C-methyl-β-D-arabinofuranosyl)-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 863329-64-0 CAPLUS
CN Cytidine, N-benzoyl-2'-C-methyl-, 3',5'-dibenzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



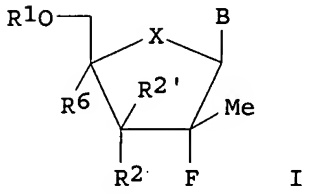
RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:34765 CAPLUS
DN 142:94074
TI Preparation of modified fluorinated (2'R)-2'-deoxy-2'-fluoro-2'-C-methyl nucleoside analogs as antiviral agents
IN Clark, Jeremy
PA Pharmasset, Ltd., Barbados
SO PCT Int. Appl., 228 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005003147	A2	20050113	WO 2004-US12472	20040421
	WO 2005003147	A3	20050303		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,

TD, TG
AU 2004253860 A1 20050113 AU 2004-253860 20040421
CA 2527657 AA 20050113 CA 2004-2527657 20040421
US 2005009737 A1 20050113 US 2004-828753 20040421
EP 1633766 A2 20060315 EP 2004-775900 20040421
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR
PRAI US 2003-474368P P 20030530
WO 2004-US12472 W 20040421
OS MARPAT 142:94074
GI



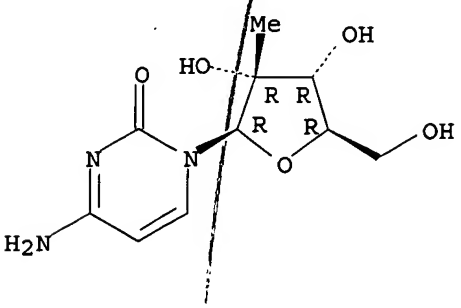
I

AB The disclosed invention provides nucleoside analogs I, wherein B is purine and pyrimidine nucleobase; X is O, S, CH2, Se, NH, N-alkyl, CHW, C(W)2; W is F, Cl, Br, iodo; R1 is H, phosphate, H-phosphonate, acyl, Ph, alkyl, carboxyalkylamino, sulfonate ester, peptide, amino acid, sugar reside; R2 and R2' are independently H, alkyl, alkenyl, alkynyl, vunyl, N3, CN, halogen, NO2, ester, alkoxy, thioalkyl, sulfoxide, sulfonyl; R6 is alkyl, CN, Me, OMe, OEt, CH2OH, CH2F, N3, CHCN, CH2N3, CH2NH2, CH2NHMe, CH2NMe2, alkylne; and methods of treating a Flaviviridae infection, including hepatitis C virus, West Nile Virus, yellow fever virus, and a rhinovirus infection in a host, including animals, and especially human, using a (2'R)-2'-deoxy-2'-fluoro-2'-C-Me nucleosides, or a pharmaceutically acceptable salt or prodrug thereof. Thus, (2'R)-2'-deoxy-2'-fluoro-2'-C-methylcytidine was prepared and tested as antiviral agent. The effects the nucleoside analogs tested on human bone marrow cells are reported. (2'R)-2'-deoxy-2'-fluoro-2'-C-methylcytidine shows activity against Rhinovirus, West Nile virus, Yellow Fever virus, and Dengue virus. Cytotoxicity and effect of nucleoside analogs on human bone marrow cells are reported.

IT 20724-73-6 374750-28-4
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of modified fluorinated (2'R)-2'-deoxy-2'-fluoro-2'-C-Me nucleoside analogs as antiviral agents)

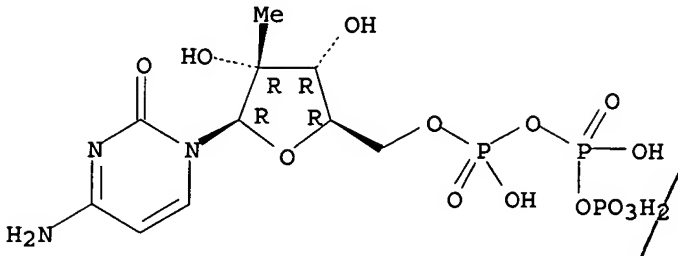
RN 20724-73-6 CAPLUS
CN Cytidine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 374750-28-4 CAPLUS
CN Cytidine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

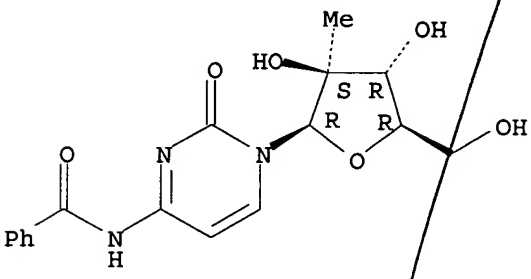
Absolute stereochemistry.



IT 817204-35-6P 817204-36-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of modified fluorinated (2'R)-2'-deoxy-2'-fluoro-2'-C-Me nucleoside analogs as antiviral agents)

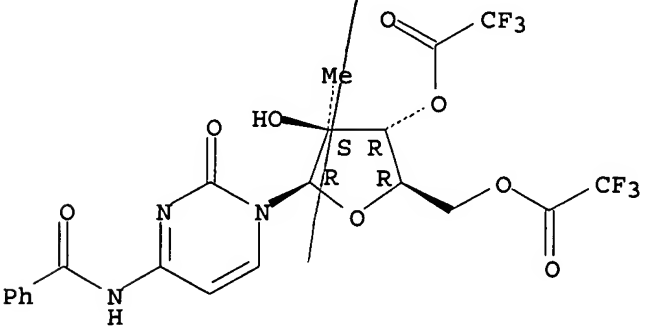
RN 817204-35-6 CAPLUS
CN Benzamide, N-[1,2-dihydro-1-(2-C-methyl-β-D-arabinofuranosyl)-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 817204-36-7 CAPLUS
CN Benzamide, N-[1,2-dihydro-1-[2-C-methyl-3,5-bis-O-(trifluoroacetyl)-β-D-arabinofuranosyl]-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



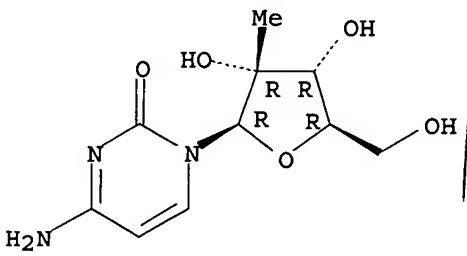
L8 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:817630 CAPLUS
DN 141:307495
TI Use of nucleoside compounds and PALA for the treatment of flaviviridae infections
IN Stuyver, Lieven J.
PA Pharmasset Ltd., Barbados
SO PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004084796	A2	20041007	WO 2004-IB1429	20040329
WO 2004084796	A3	20060406		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004224575	A1	20041007	AU 2004-224575	20040329
CA 2529311	AA	20041007	CA 2004-2529311	20040329
US 2005049204	A1	20050303	US 2004-812448	20040329
EP 1626692	A2	20060222	EP 2004-724085	20040329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
PRAI US 2003-458635P	P	20030328		
WO 2004-IB1429	W	20040329		

OS MARPAT 141:307495
AB The invention discloses a composition for and a method of treating Flaviviridae infections, e.g. bovine viral diarrhea virus, dengue Virus, West Nile virus, and hepatitis C virus, as well as abnormal cellular proliferation, in a host, including animals, and especially humans, using a nucleoside compound (Markush included) or N-(phosphonoacetyl)-L-aspartate (PALA), or a pharmaceutically acceptable salt or prodrug thereof.
IT 20724-73-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nucleoside compds. and PALA for treatment of flaviviridae infections)
RN 20724-73-6 CAPLUS
CN Cytidine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

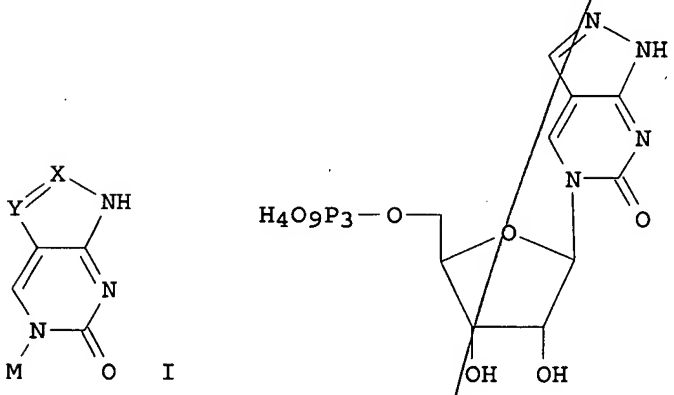
Absolute stereochemistry.



L8 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:780543 CAPLUS
DN 141:296247
TI Preparation of cytidine nucleoside analogs as antiviral agents
IN Girardet, Jean-Luc; Koh, Yung-Hyo; An, Haoyun; Hong, Zhi
PA Ribapharm Inc., USA
SO PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004080466	A1	20040923	WO 2003-US6992	20030307
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003225705	A1	20040930	AU 2003-225705	20030307
PRAI WO 2003-US6992	A	20030307		

OS MARPAT 141:296247
GI



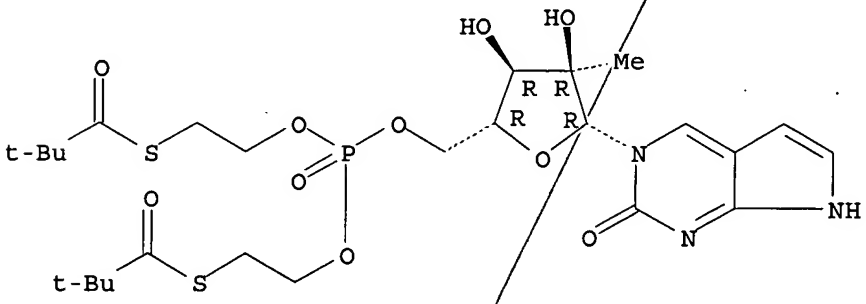
AB Cytidine analogs I, wherein -X=Y- is -N=N-, -CH=N-, -N=CZ- or -CH=CZ-, wherein Z is H, halogen, or alkyl, and wherein M is a sugar or sugar analog; wherein the compound has a D-configuration or an L-configuration; with the proviso that where M is a substituted sugar with a ribofuranose ring having a heteroatom and substituents R1 and R2 on the C3'-atom, R3 and R4 on the C2'-atom, and R5 on the C5'-atom, R1-R4 together are not independently H, OH, F, NH2, N3, O-hydrocarbyl, or a reporter moiety, when the heteroatom is O, S, Se, SO, N-alkyl, or CH2, and when R5 is OH, SH, NH2, monophosphate, diphosphate, triphosphate, thiophosphate, or boranophosphate; and with the further proviso that M does not comprise a cyclopropenyl group, a morpholino group, or M is not a phosphonylmethoxyethyl, their prodrugs and/or metabolites are employed as pharmaceutically active compds. for treatment of diseases responsive to such compds. Particularly preferred diseases include viral diseases

(e.g., HCV infection) and neoplasms (no biol. data). Thus nucleoside analog II was prepared and tested as antiviral agent. The virus is an HCV virus, an HIV virus, an RSV virus, an influenza virus, or a an HBV virus.

IT 760965-44-4P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cytidine nucleoside analogs as antiviral agents)

RN 760965-44-4 CAPLUS
CN 2H-Pyrrolo[2,3-d]pyrimidin-2-one, 3-[5-O-[bis[2-[(2,2-dimethyl-1-oxopropyl)thio]ethoxy]phosphinyl]-2-C-methyl-β-D-ribofuranosyl]-1,3-dihydro- (9CI) (CA INDEX NAME)

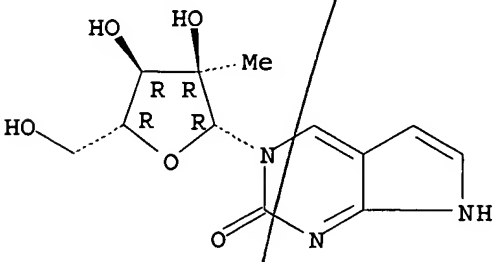
Absolute stereochemistry.



IT 760965-41-1P 760965-42-2P 760965-52-4P
760965-53-5P 760965-54-6P 760965-55-7P
760965-56-8P 760965-62-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cytidine nucleoside analogs as antiviral agents)

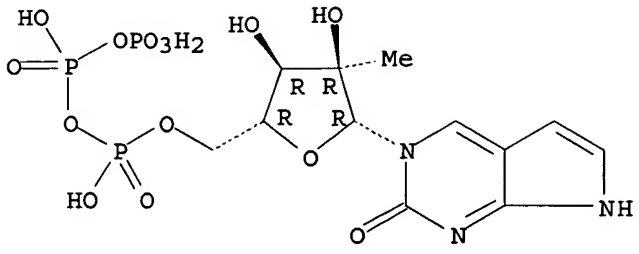
RN 760965-41-1 CAPLUS
CN 2H-Pyrrolo[2,3-d]pyrimidin-2-one, 1,3-dihydro-3-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



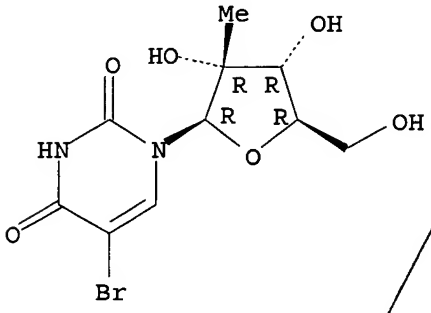
RN 760965-42-2 CAPLUS
CN 2H-Pyrrolo[2,3-d]pyrimidin-2-one, 1,3-dihydro-3-[5-O-[hydroxy[[hydroxy(phosphonooxy)phosphinyl]oxy]phosphinyl]-2-C-methyl-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



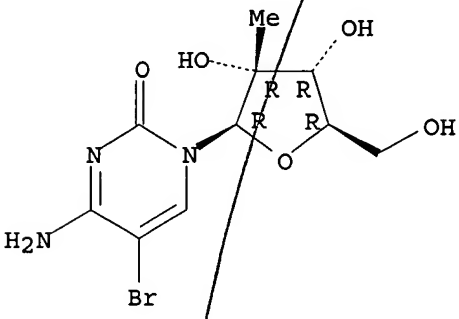
RN 760965-52-4 CAPLUS
CN Uridine, 5-bromo-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



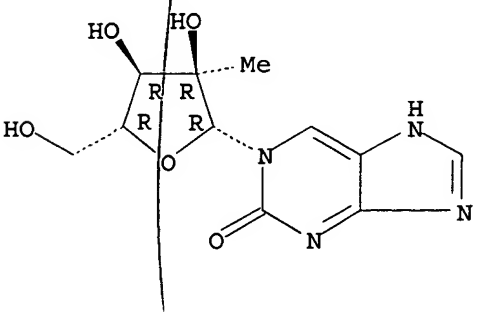
RN 760965-53-5 CAPLUS
CN Cytidine, 5-bromo-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



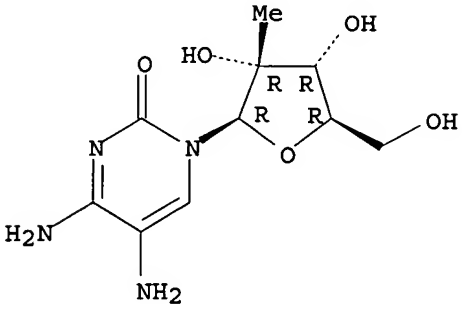
RN 760965-54-6 CAPLUS
CN 2H-Purin-2-one, 1,3-dihydro-1-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



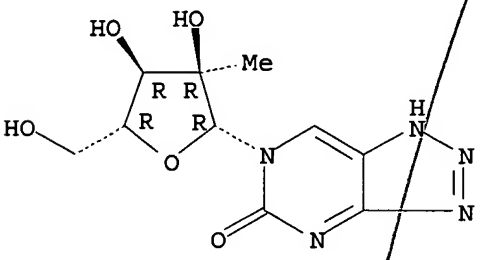
RN 760965-55-7 CAPLUS
CN Cytidine, 5-amino-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



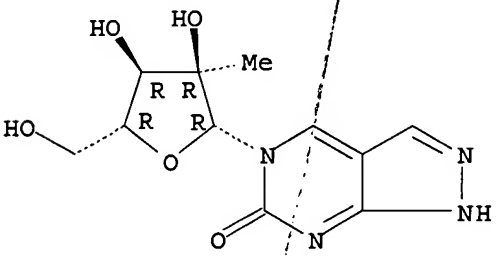
RN 760965-56-8 CAPLUS
CN 5H-1,2,3-Triazolo[4,5-d]pyrimidin-5-one, 1,6-dihydro-6-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 760965-62-6 CAPLUS
CN 6H-Pyrazolo[3,4-d]pyrimidin-6-one, 1,5-dihydro-5-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



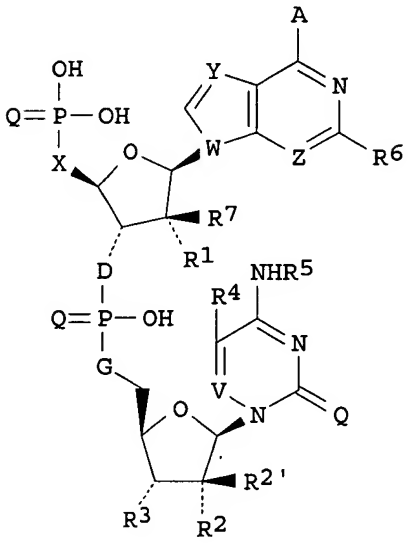
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:652668 CAPLUS
DN 141:167739
TI Diribonucleotides as specific viral RNA-polymerase inhibitors for the treatment or prevention of viral infections
IN Wu, Jim Zhen; An, Haoyun; Hong, Zhi
PA USA

SO U.S. Pat. Appl. Publ., 12 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004158054	A1	20040812	US 2003-360218	20030207
PRAI US 2003-360218		20030207		

OS MARPAT 141:167739
GI



I

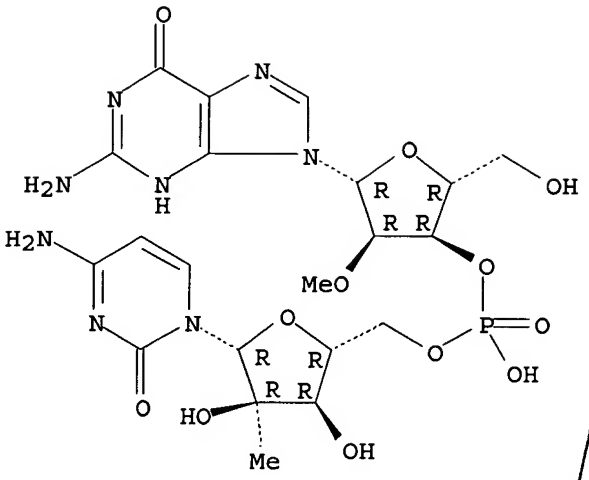
AB The invention discloses compds. and methods using dinucleotide compds. I (A = H, OR, SR, NH2,or NHR; Q = O or S; V, W, Y, and Z = CH or N; X = O, S, NR, etc.; D and G = null, CH2, O, etc.; R1, R2, R2', R3 = H, OR, halogen, CF3 etc.; R4 = R; R5 = H, NH2, NHR, etc.; R6 = H, NH2, NHCOR, etc.; R7 = H, OR, SR, halogen, etc.; R = H, (un)substituted alkyl, aryl, etc.) comprising a first and second nucleoside. The dinucleotide inhibits viral RNA polymerase and at least one of the nucleosides exhibits antiviral activity when cleaved from the dinucleotide.

IT 735268-87-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(diribonucleotides as specific viral RNA-polymerase inhibitors for treatment or prevention of viral infections)

RN 735268-87-8 CAPLUS

CN Cytidine, 2'-O-methylguanylyl-(3'→5')-2'-C-methyl- (9CI) (CA INDEX NAME)

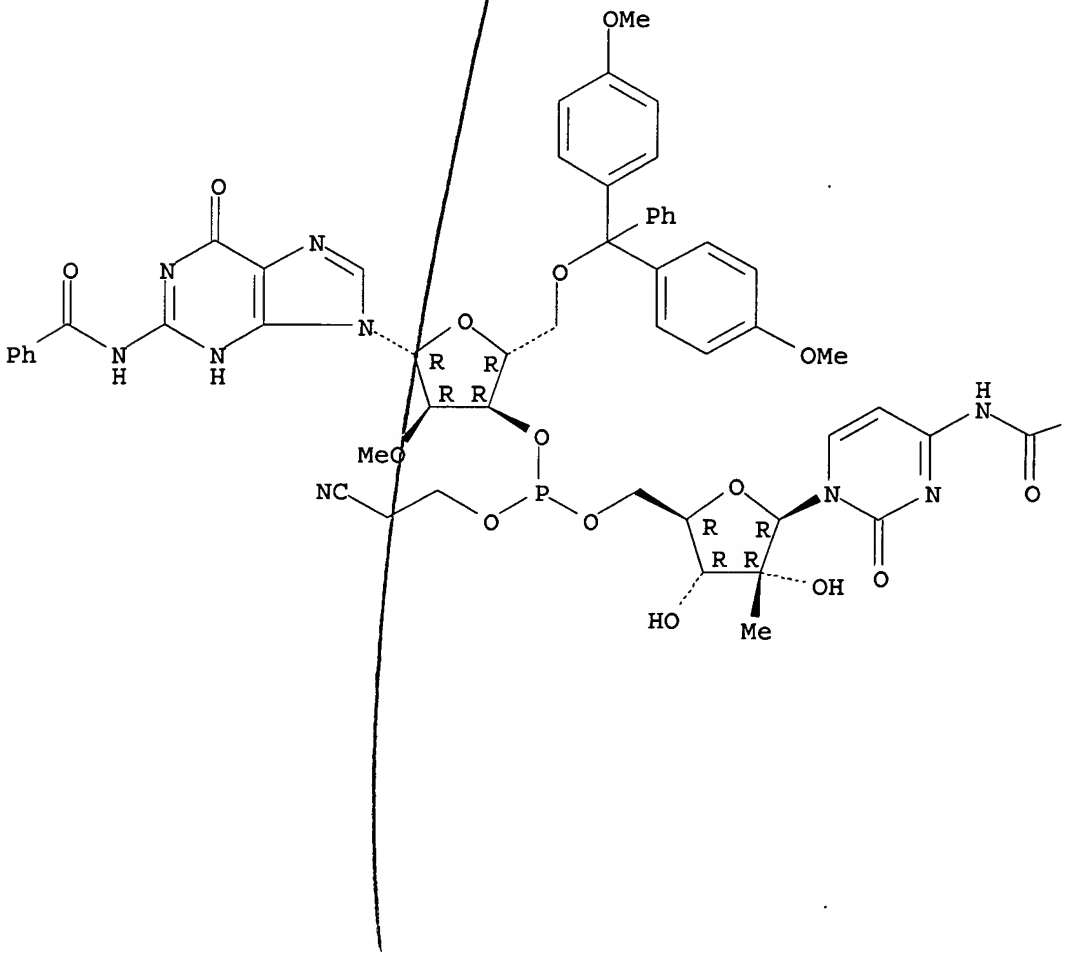
Absolute stereochemistry.



IT 735268-88-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(diribonucleotides as specific viral RNA-polymerase inhibitors for treatment or prevention of viral infections)
RN 735268-88-9 CAPLUS
CN Cytidine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P(O)-(2-cyanoethyl)-P-doxo-2'-O-methylguanylyl-(3'→5')-N-benzoyl-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



Ph

L8 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:566635 CAPLUS
DN 141:89323
TI Process for the production of 3'-nucleoside prodrugs
IN Storer, Richard; Moussa, Adel; Mathieu, Steven; Qu, Lin
PA Idenix Cayman Limited, Cayman I.
SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004058792	A1	20040715	WO 2003-US41603	20031223
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2511616	AA	20040715	CA 2003-2511616	20031223
	AU 2003300434	A1	20040722	AU 2003-300434	20031223
	US 2004181051	A1	20040916	US 2003-746395	20031223
	EP 1575971	A1	20050921	EP 2003-814400	20031223
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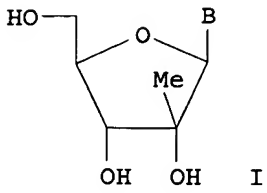
	BR 2003016868	A	20051025	BR 2003-16868	20031223
	CN 1751058	A	20060322	CN 2003-80109820	20031223
	JP 2006514038	T2	20060427	JP 2004-562599	20031223
	NO 2005003557	A	20050908	NO 2005-3557	20050720
PRAI	US 2002-436150P	P	20021223		
	WO 2003-US41603	W	20031223		

OS CASREACT 141:89323; MARPAT 141:89323
GI



I

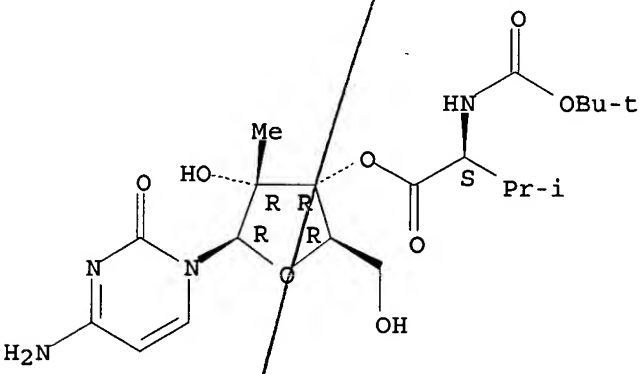
AB Provided is a single-step process for the regioselective 3'-acylation of a ribofuranosyl 2'- or 3'-branched nucleosides I, wherein B is nucleobase. These compds. are useful as antiviral agents, and in particular, can be used to treat Flaviviridae infections in a host in need thereof (no data). Thus, 9-(2'-C-methyl-3'-O-valinoyl-β-D-ribofuranosyl)-6-N-methyladenine dihydrochloride was prepared via regioselective esterification of 9-(2'-C-methyl-β-D-ribofuranosyl)-6-N-methyladenine with N-(tert-butoxycarbonyl)-L-valine.

IT 640725-70-8P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for production of nucleoside prodrugs via regioselective esterification)

RN 640725-70-8 CAPLUS

CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]-, 3'-ester with 2'-C-methylcytidine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

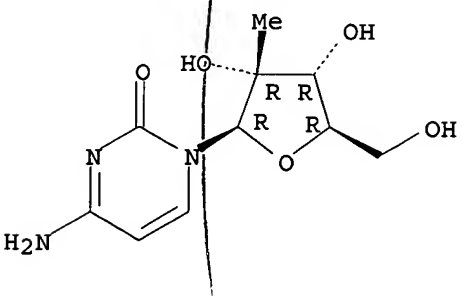


IT 20724-73-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for production of nucleoside prodrugs via regioselective esterification)

RN 20724-73-6 CAPLUS

CN Cytidine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:453348 CAPLUS
DN 141:17578
TI Treatment of Flaviviridae infection with 2'-branched nucleosides and another mutation-inducing drug such as interferon
IN Sommadossi, Jean-Pierre; La Colla, Paolo; Standring, David; Bichko, Vadim; Qu, Lin
PA Idenix (Cayman) Limited, Cayman I.; Universita Degli Studi Di Cagliari
SO PCT Int. Appl., 166 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004046331	A2	20040603	WO 2003-US36714	20031117
	WO 2004046331	A3	20060302		
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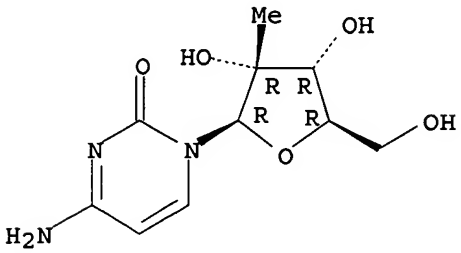
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2506129	AA	20040603	CA 2003-2506129	20031117
	AU 2003298658	A1	20040615	AU 2003-298658	20031117
	US 2005031588	A1	20050210	US 2003-715729	20031117
	EP 1576138	A2	20050921	EP 2003-796412	20031117
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003016363	A	20051004	BR 2003-16363	20031117
	NO 2005002920	A	20050815	NO 2005-2920	20050615
PRAI	US 2002-426675P	P	20021115		
	WO 2003-US36714	W	20031117		

10/715,729

OS MARPAT 141:17578
AB The present invention discloses a method for the treatment of Flaviviridae infection that includes the administration of a 2'-branched nucleoside, or a pharmaceutically acceptable prodrug and/or salt thereof, to a human in need of therapy in combination or alternation with a drug that directly or indirectly induces a mutation in the viral genome at a location other than a mutation of a nucleotide that results in a change from serine to a different amino acid in the highly conserved consensus sequence, XRX<u>S</u>GXXXT, of domain B of the RNA polymerase region, or is associated with such a mutation. The invention also includes a method to detect a mutant strain of Flaviviridae and a method for its treatment. Thus, in bovine viral diarrhea virus (BVDV)-infected MDBK cells treated with β-D-2'-methylcytidine, viruses resistant to the nucleoside appeared. The drug resistance was associated with a mutation in the NS5B gene which resulted in an S405T substitution in the encoded RNA-dependent RNA polymerase. These mutant viruses were sensitive to Intron A (interferon α-2b). Intron A and β-D-2'-methylcytidine exhibited synergistic inhibitory activity on BVDV growth in MDBK cells.
IT 20724-73-6
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of Flaviviridae infection with 2'-branched nucleosides and another mutation-inducing drug such as interferon)
RN 20724-73-6 CAPLUS

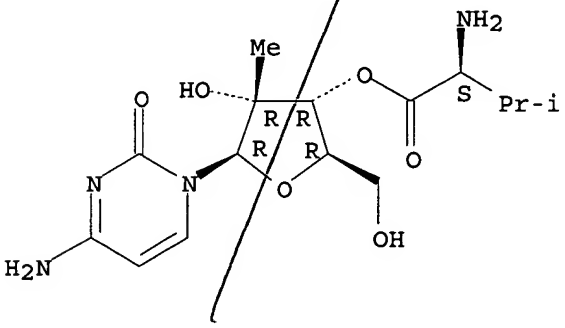
CN Cytidine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 640281-90-9
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of Flaviviridae infection with 2'-branched nucleosides and another mutation-inducing drug such as interferon)
RN 640281-90-9 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:20697 CAPLUS
DN 140:87662
TI 2'- and 3'-nucleoside prodrugs for treating Flaviviridae infections
IN Sommadossi, Jean-pierre; La Colla, Paolo; Storer, Richard; Gosselin, Gilles
PA Idenix (Cayman) Limited, Cayman I.; Centre National de la Recherche Scientifique; Universita Degli Studi di Cagliari
SO PCT Int. Appl., 2498 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004003000	A2	20040108	WO 2003-IB3901	20030627
WO 2004003000	A3	20041104		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2490200	AA	20040108	CA 2003-2490200	20030627
AU 2003263412	A1	20040119	AU 2003-263412	20030627
EP 1525209	A2	20050427	EP 2003-761749	20030627

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CN 1678621	A	20051005	CN 2003-820690	20030627
JP 2005537242	T2	20051208	JP 2004-517162	20030627
CN 1761677	A	20060419	CN 2003-820501	20030627
WO 2005020884	A2	20050310	WO 2004-US15395	20040514
WO 2005020884	A3	20060622		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1656093	A2	20060517	EP 2004-776022	20040514

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

NO 2005000466	A	20050323	NO 2005-466	20050127
PRAI US 2002-392350P	P	20020628		
US 2002-392351P	P	20020628		
US 2003-466194P	P	20030428		
US 2003-470949P	P	20030514		
WO 2003-IB3901	W	20030627		
WO 2004-US15395	W	20040514		

OS MARPAT 140:87662

AB 2' And 3'-Prodrugs of 1'-, 2'-, 3'-, or 4'-branched β-D or β-L nucleosides, or their pharmaceutically acceptable salts and derivs., are described which are useful in the prevention and treatment of Flaviviridae infections and other related conditions. These modified nucleosides provide superior results against flaviviruses and pestiviruses, including hepatitis C virus and viruses generally that replicate through an RNA-dependent RNA reverse transcriptase. Compds., compns., methods and uses are provided for the treatment of Flaviviridae infection, including HCV infection, that include the administration of an effective amount of the prodrugs of the invention, or their pharmaceutically acceptable salts or derivs. These drugs may optionally be administered in combination or alternation with further antiviral agents to prevent or treat Flaviviridae infections and other related conditions. Preparation of compds. of the invention is included.

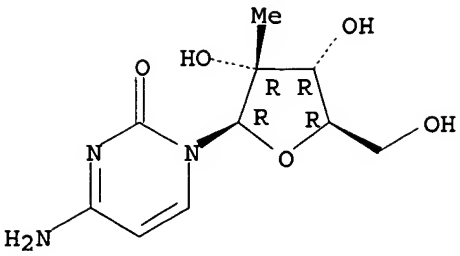
IT 20724-73-6P

RL: ADV (Adverse effect, including toxicity); BSU (Biological study, unclassified); DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(nucleoside prodrugs for treating Flaviviridae infections)

RN 20724-73-6 CAPLUS

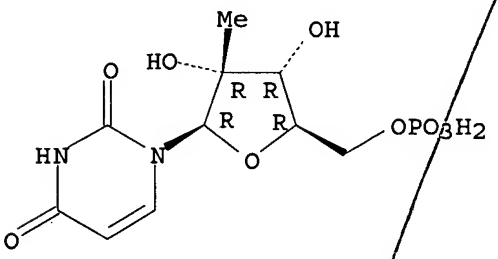
CN Cytidine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



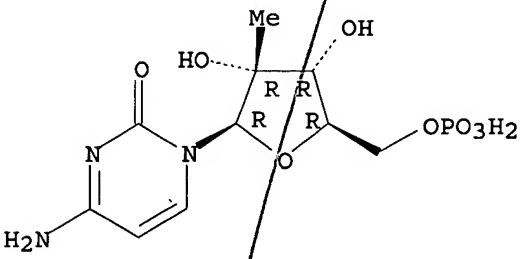
IT 125911-78-6 386213-38-3
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(nucleoside prodrugs for treating Flaviviridae infections)
RN 125911-78-6 CAPLUS
CN 5'-Uridylic acid, 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



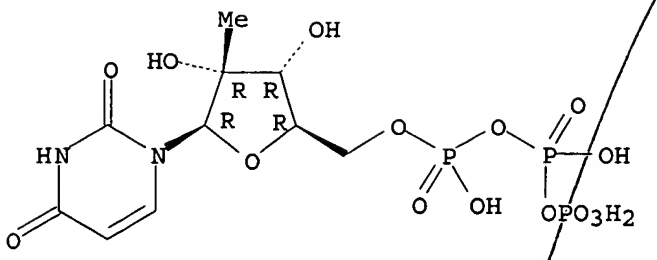
RN 386213-38-3 CAPLUS
CN 5'-Cytidylic acid, 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



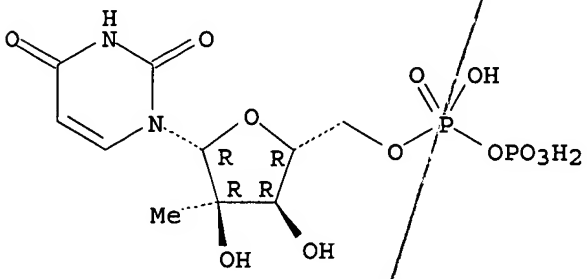
IT 125911-76-4 150993-73-0 640725-72-0
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); BIOL (Biological study)
(nucleoside prodrugs for treating Flaviviridae infections)
RN 125911-76-4 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



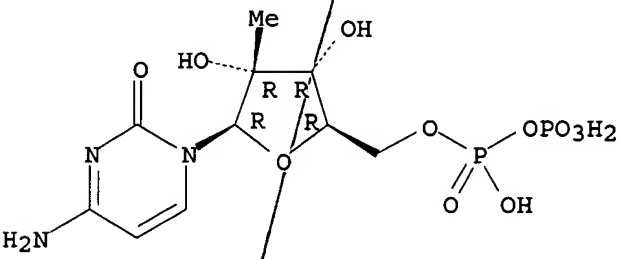
RN 150993-73-0 CAPLUS
CN Uridine 5'-(trihydrogen diphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



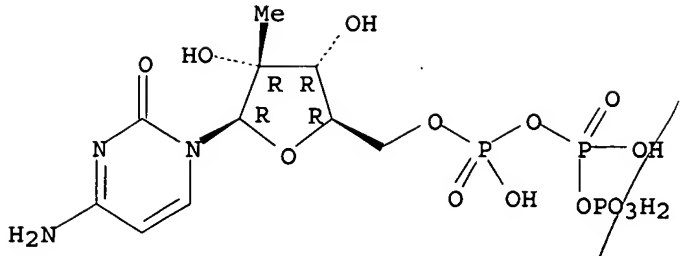
RN 640725-72-0 CAPLUS
CN Cytidine 5'-(trihydrogen diphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



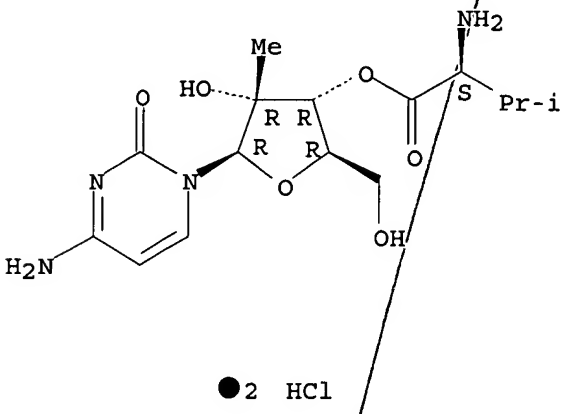
IT 374750-28-4
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PKT (Pharmacokinetics); BIOL (Biological study)
(nucleoside prodrugs for treating Flaviviridae infections)
RN 374750-28-4 CAPLUS
CN Cytidine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



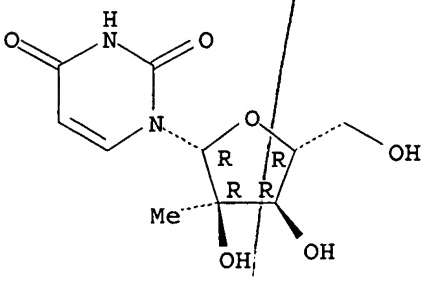
IT 640725-71-9P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nucleoside prodrugs for treating Flaviviridae infections)
RN 640725-71-9 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 31448-54-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nucleoside prodrugs for treating Flaviviridae infections)
RN 31448-54-1 CAPLUS
CN Uridine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



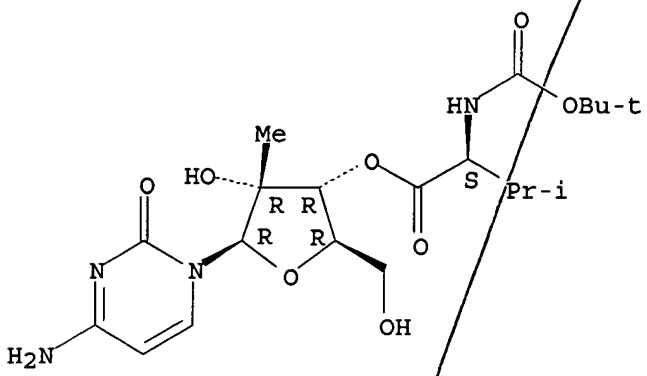
IT 640725-70-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(nucleoside prodrugs for treating Flaviviridae infections)

RN 640725-70-8 CAPLUS

CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]-, 3'-ester with 2'-C-methylcytidine (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 622381-09-3

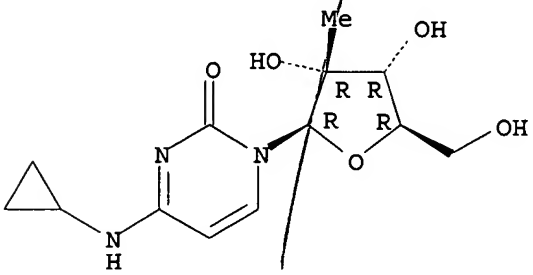
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(nucleoside prodrugs for treating Flaviviridae infections, and use with other agents)

RN 622381-09-3 CAPLUS

CN Cytidine, N-cyclopropyl-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:20696 CAPLUS

DN 140:77365

TI Preparation of modified 2'- and 3'-nucleoside prodrugs for treating Flaviviridae infections

IN Sommadossi, Jean-pierre; La Colla, Paolo; Storer, Richard; Gosselin, Gilles

PA Idenix (Cayman) Limited, Cayman I.; Universita degli studi di Cagliari; Centre National de la Recherche Scientifique

SO PCT Int. Appl., 201 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004002999	A2	20040108	WO 2003-IB3246	20030627

WO 2004002999 A3 20040812
WO 2004002999 C1 20050217
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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JP 2005533817 T2 20051110 JP 2004-517158 20030627
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WO 2005020884 A2 20050310 WO 2004-US15395 20040514
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EP 1656093 A2 20060517 EP 2004-776022 20040514
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NO 2005000465 A 20050127 NO 2005-465 20050127
PRAI US 2002-392350P P 20020628
US 2002-392351P P 20020628
US 2003-466194P P 20030428
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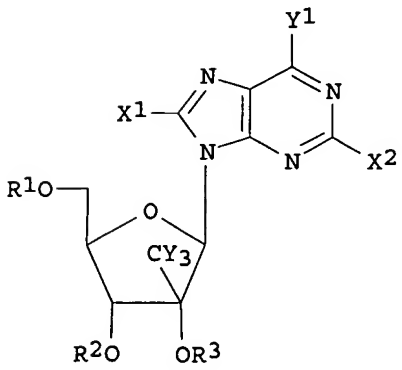
OS MARPAT 140:77365
GI



I

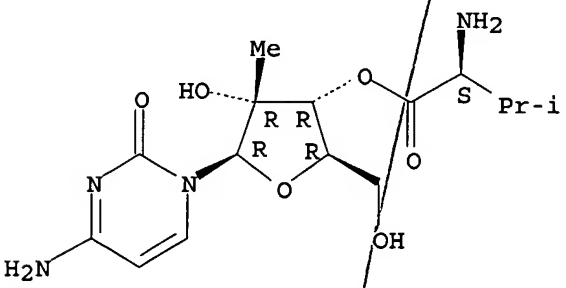
AB 2' And/or 3' prodrugs of 1', 2', 3' or 4'-branched-nucleosides I, wherein R1-R3 are independently H, phosphate, alkyl, acyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, sulfonate ester, benzyl, wherein the Ph group is optionally substituted with one or more substituents, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, lipid, amino acid, carbohydrate, peptide, cholesterol; Y1 is hydrogen, bromo, chloro, fluoro, iodo, CN, OH, OR4, NH2, NHR4, NR4R5, SH or SR4; X1 and X2 are independently alkyl, CH3, CF3, CY3, 2-Br-Et, CH2F, CH2Cl, CH2CF3, CF2CF3, CY2CY3, CH2OH, alkenyl, alkynyl, COOH, COOR4, COO-alkyl, COO-aryl, CO-O-alkoxyalkyl, CONH2, CONHR4, CON(R4)2, halo, CN, N3, OH, OR4, NH2, NHR4, NR4R5, SH or SR5; Y is independently H, halo; and each R4 and R5 is independently hydrogen, acyl, alkyl, lower alkyl, alkenyl, alkynyl or cycloalkyl, and their pharmaceutically acceptable salts and derivs. are described. These prodrugs are useful in the prevention and treatment of Flaviviridae infections, including HCV infection, and other related conditions. Compds. and compns. of the prodrugs of the present invention are described. Methods and uses are also provided that include the administration of an effective amount of the prodrugs of the present invention, or their pharmaceutically acceptable salts or derivs. These drugs may optionally be administered in combination or alteration with further anti-viral agents to prevent or treat Flaviviridae infections and other related conditions. Thus, antiviral activity of β-D-2'-C-methyl-7-methyl-6-phenyl-3,3a,5,8a-tetrahydro-1,3,4,5,7a-penta-aza-s-indacen-8-one is reported.

IT 640281-90-9P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of modified and nucleoside prodrugs for treating flaviviridae infections)

RN 640281-90-9 CAPLUS

CN L-Valine, 3'-ester with 2'-C-methylcytidine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

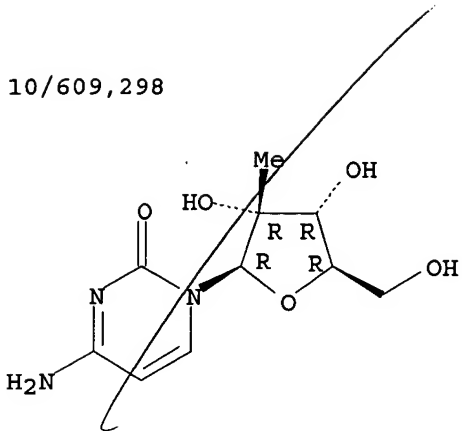


IT 20724-73-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of modified and nucleoside prodrugs for treating flaviviridae infections)

RN 20724-73-6 CAPLUS

CN Cytidine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:20443 CAPLUS
DN 140:70984
TI 2'-C-methyl-3'-O-L-valine ester ribofuranosyl cytidine for treatment of flaviviridae infections
IN Sommadossi, Jean-Pierre; La Colla, Paolo
PA Idenix (Cayman) Limited, Cayman I.; Universita Degli Studi di Cagliari
SO PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004002422	A2	20040108	WO 2003-US20431	20030627
	WO 2004002422	A3	20050407		
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	US 2004077587	A1	20040422	US 2003-607909	20030627
	EP 1536804	A2	20050608	EP 2003-762183	20030627
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	JP 2005533824	T2	20051110	JP 2004-518041	20030627
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	EP 1656093	A2	20060517	EP 2004-776022	20040514
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	NO 2005000490	A	20050127	NO 2005-490	20050127
PRAI	US 2002-392351P	P	20020628		
	US 2003-466194P	P	20030428		
	US 2003-470949P	P	20030514		
	WO 2003-US20431	W	20030627		
	WO 2004-US15395	W	20040514		

OS MARPAT 140:70984

AB The 3'-L-valine ester of β-D-2'-C-methyl-ribofuranosyl cytidine provides superior results against flaviviruses and pestiviruses, including hepatitis C virus. Based on this discovery, compds., compns., methods and uses are provided for the treatment of flaviviridae, including HCV, that include the administration of an effective amount of val-mCyd or its salt, ester, prodrug or derivative, optionally in a pharmaceutically acceptable carrier. In an alternative embodiment, val-mCyd is used to treat any virus that replicates through an RNA-dependent RNA polymerase. Several examples are provided of the pharmacol., mechanism of action, metabolism, side effects, and clin. efficacy of the title compound

IT 640281-90-9D, salts 642075-50-1 642075-51-2
642075-52-3 642075-53-4 642075-54-5
642075-55-6 642075-56-7 642075-57-8
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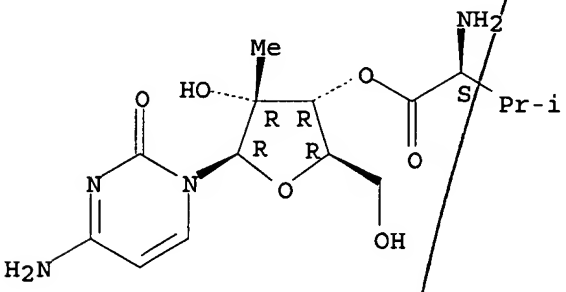
642075-64-7 642075-65-8 642075-66-9
642075-67-0 642075-68-1 642075-69-2
642075-70-5 642075-71-6 642075-72-7
642075-74-9 642075-75-0 642075-76-1
642075-77-2
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ribofuranosylcytidine methylvaline ester combined with other antivirals for treatment of flaviviridae infections)

RN 640281-90-9 CAPLUS

CN L-Valine, 3'-ester with 2'-C-methylcytidine (9CI) (CA INDEX NAME)

Absolute stereochemistry.



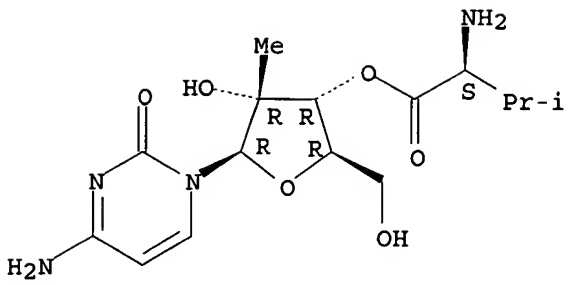
RN 642075-50-1 CAPLUS

CN L-Valine, 3'-ester with 2'-C-methylcytidine, 4-methylbenzenesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

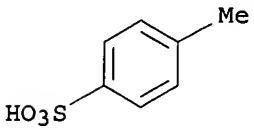
CRN 640281-90-9
CMF C15 H24 N4 O6

Absolute stereochemistry.



CM 2

CRN 104-15-4
CMF C7 H8 O3 S

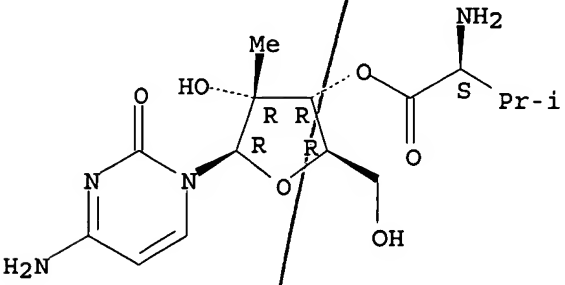


RN 642075-51-2 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, methanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

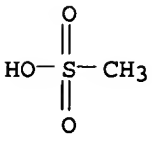
CRN 640281-90-9
CMF C15 H24 N4 O6

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S

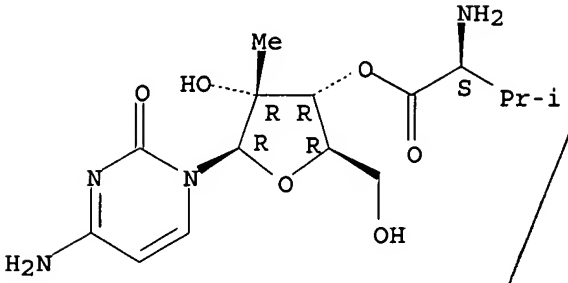


RN 642075-52-3 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

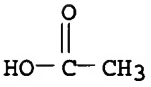
CRN 640281-90-9
CMF C15 H24 N4 O6

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2

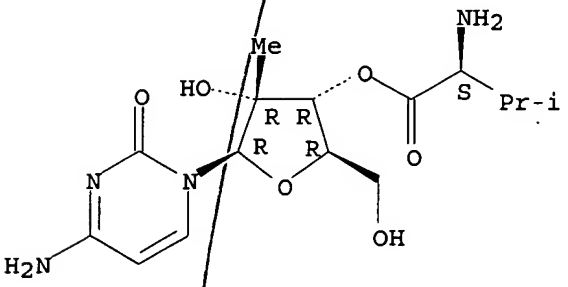


RN 642075-53-4 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, 2-hydroxy-1,2,3-propanetricarboxylate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 640281-90-9
CMF C15 H24 N4 O6

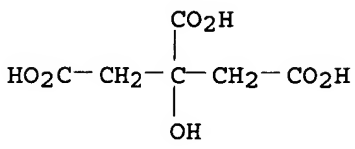
Absolute stereochemistry.



CM 2

CRN 77-92-9

CMF C6 H8 O7

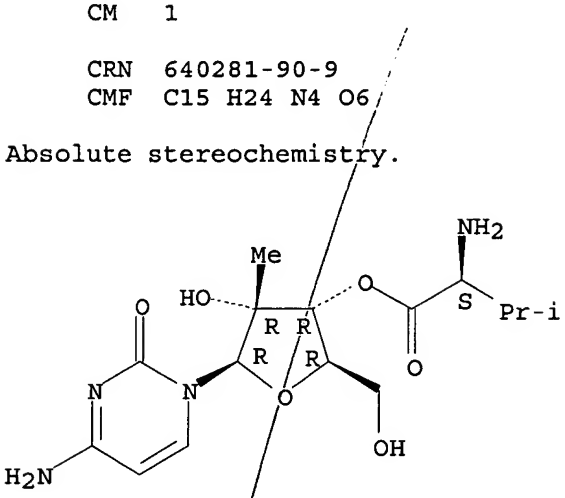


RN 642075-54-5 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, propanedioate (salt) (9CI) (CA INDEX NAME)

CM 1

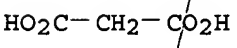
CRN 640281-90-9
CMF C15 H24 N4 O6

Absolute stereochemistry.



CM 2

CRN 141-82-2
CMF C3 H4 O4

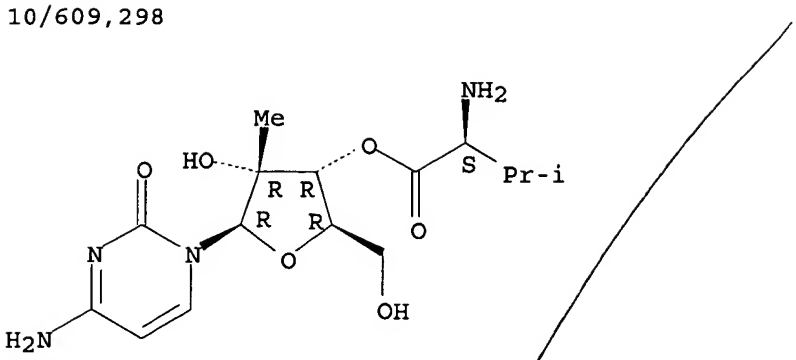


RN 642075-55-6 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, (2R,3R)-2,3-dihydroxybutanedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 640281-90-9
CMF C15 H24 N4 O6

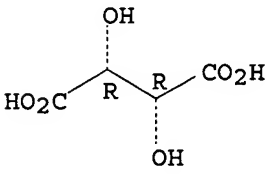
Absolute stereochemistry.



CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.

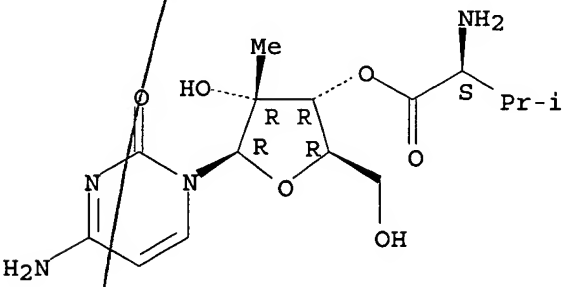


RN 642075-56-7 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, butanedioate (salt) (9CI) (CA INDEX NAME)

CM 1

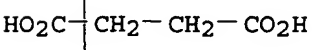
CRN 640281-90-9
CMF C15 H24 N4 O6

Absolute stereochemistry.



CM 2

CRN 110-15-6
CMF C4 H6 O4



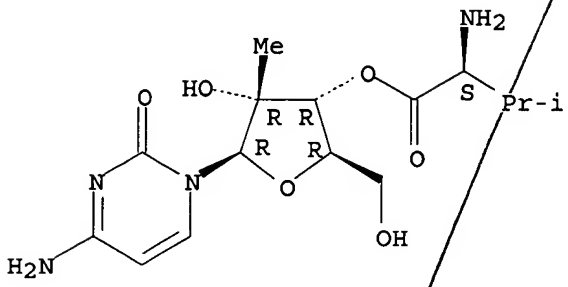
RN 642075-57-8 CAPLUS

CN L-Valine, 3'-ester with 2'-C-methylcytidine, benzoate (salt) (9CI) (CA INDEX NAME)

CM 1

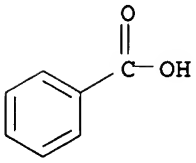
CRN 640281-90-9
CMF C15 H24 N4 O6

Absolute stereochemistry.



CM 2

CRN 65-85-0
CMF C7 H6 O2

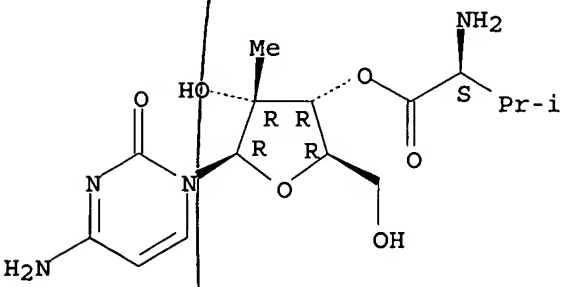


RN 642075-58-9 CAPLUS
CN L-Ascorbic acid, compd. with L-valine 3'-ester with 2'-C-methylcytidine (9CI) (CA INDEX NAME)

CM 1

CRN 640281-90-9
CMF C15 H24 N4 O6

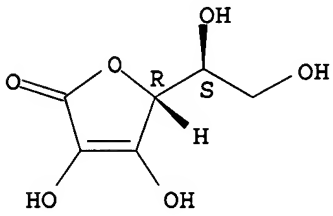
Absolute stereochemistry.



CM 2

CRN 50-81-7
CMF C6 H8 O6

Absolute stereochemistry.

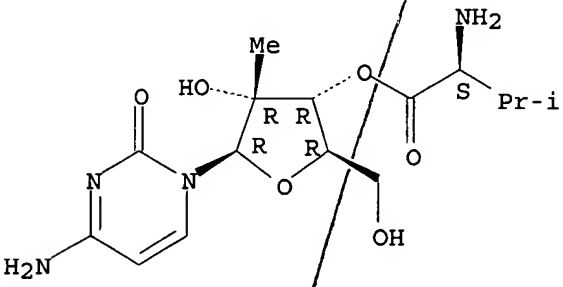


RN 642075-59-0 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, 2-oxopentanedioate (salt) (9CI) (CA INDEX NAME)

CM 1

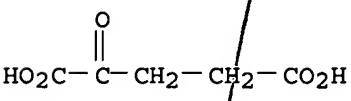
CRN 640281-90-9
CMF C15 H24 N4 O6

Absolute stereochemistry.



CM 2

CRN 328-50-7
CMF C5 H6 O5

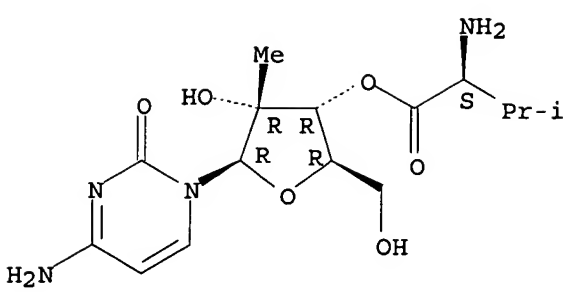


RN 642075-60-3 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, 2,3-dihydroxypropyl phosphate (salt) (9CI) (CA INDEX NAME)

CM 1

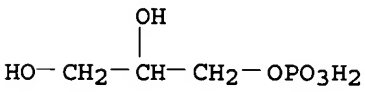
CRN 640281-90-9
CMF C15 H24 N4 O6

Absolute stereochemistry.



CM 2

CRN 57-03-4
CMF C3 H9 O6 P

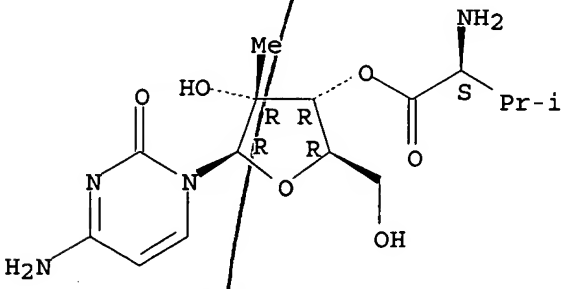


RN 642075-61-4 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, formate (salt) (9CI) (CA INDEX NAME)

CM 1

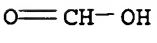
CRN 640281-90-9
CMF C15 H24 N4 O6

Absolute stereochemistry.



CM 2

CRN 64-18-6
CMF C H2 O2

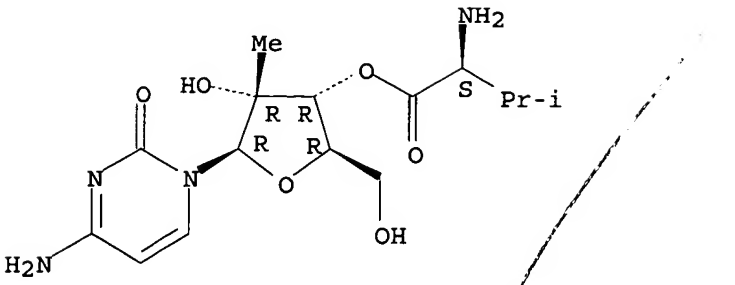


RN 642075-62-5 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, (2E)-2-butenedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 640281-90-9
CMF C15 H24 N4 O6

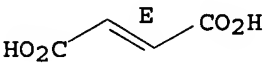
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

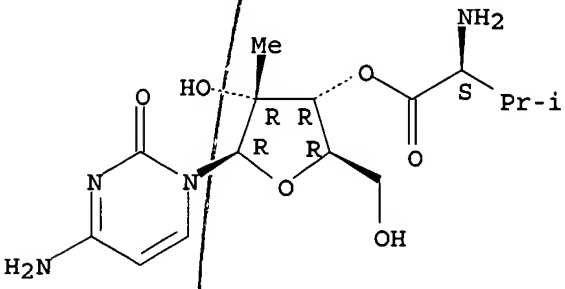


RN 642075-63-6 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, propanoate (salt) (9CI) (CA INDEX NAME)

CM 1

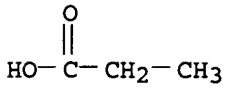
CRN 640281-90-9
CMF C15 H24 N4 O6

Absolute stereochemistry.



CM 2

CRN 79-09-4
CMF C3 H6 O2

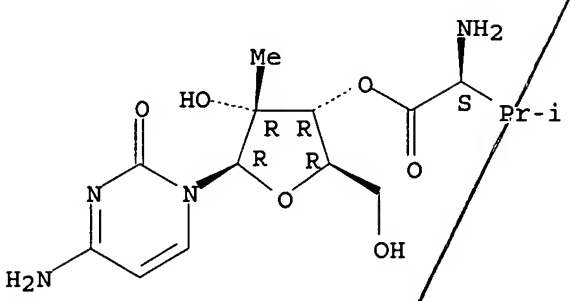


RN 642075-64-7 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, hydroxyacetate (salt) (9CI) (CA INDEX NAME)

CM 1

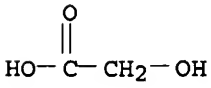
CRN 640281-90-9
CMF C15 H24 N4 O6

Absolute stereochemistry.



CM 2

CRN 79-14-1
CMF C2 H4 O3

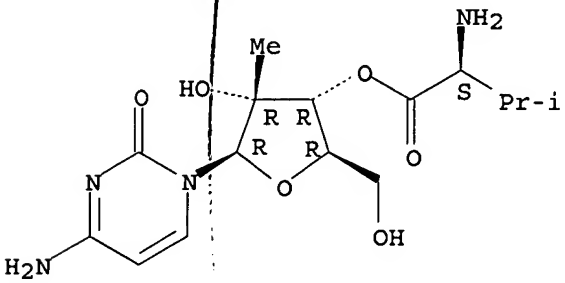


RN 642075-65-8 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, 2-hydroxypropanoate (salt) (9CI) (CA INDEX NAME)

CM 1

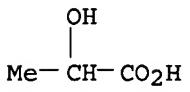
CRN 640281-90-9
CMF C15 H24 N4 O6

Absolute stereochemistry.



CM 2

CRN 50-21-5
CMF C3 H6 O3

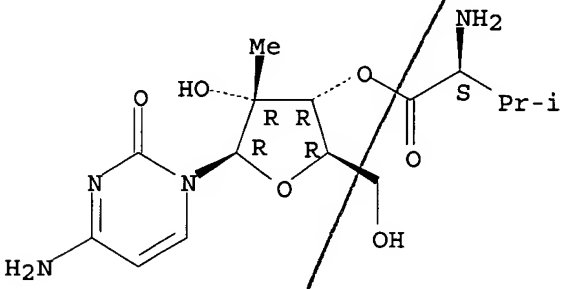


RN 642075-66-9 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, 2-oxopropanoate (salt) (9CI) (CA INDEX NAME)

CM 1

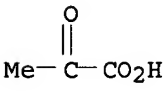
CRN 640281-90-9
CMF C15 H24 N4 O6

Absolute stereochemistry.



CM 2

CRN 127-17-3
CMF C3 H4 O3

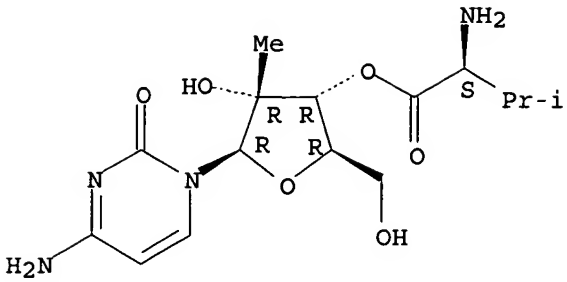


RN 642075-67-0 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, ethanedioate (salt) (9CI) (CA INDEX NAME)

CM 1

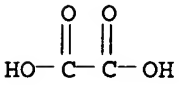
CRN 640281-90-9
CMF C15 H24 N4 O6

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4

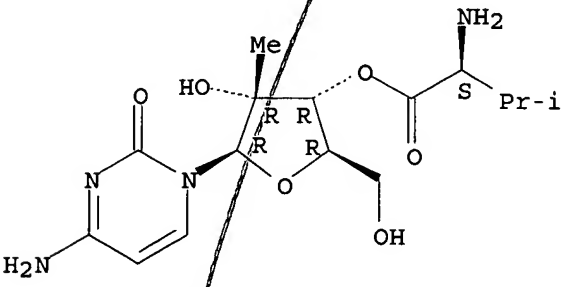


RN 642075-68-1 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, (2Z)-2-butenedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 640281-90-9
CMF C15 H24 N4 O6

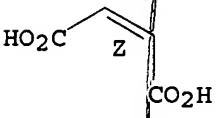
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



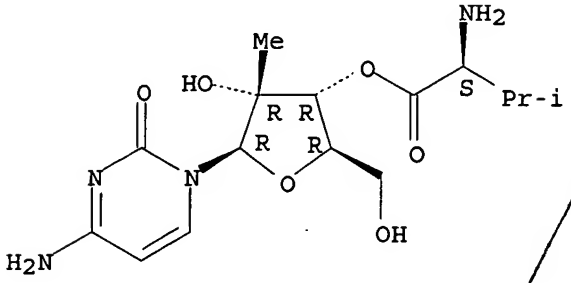
RN 642075-69-2 CAPLUS

CN L-Valine, 3'-ester with 2'-C-methylcytidine, 2-hydroxybenzoate (salt) (9CI) (CA INDEX NAME)

CM 1

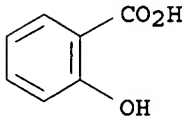
CRN 640281-90-9
CMF C15 H24 N4 O6

Absolute stereochemistry.



CM 2

CRN 69-72-7
CMF C7 H6 O3

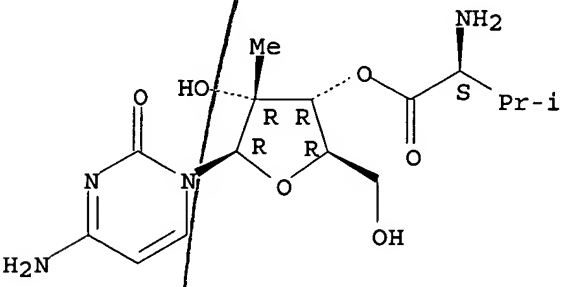


RN 642075-70-5 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, sulfate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 640281-90-9
CMF C15 H24 N4 O6

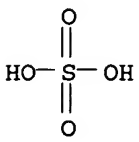
Absolute stereochemistry.



CM 2

CRN 7664-93-9

CMF H2 O4 S

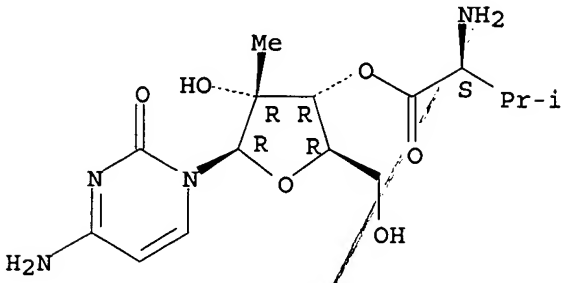


RN 642075-71-6 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, nitrate (salt) (9CI) (CA INDEX NAME)

CM 1

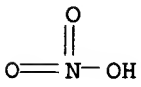
CRN 640281-90-9
CMF C15 H24 N4 O6

Absolute stereochemistry.



CM 2

CRN 7697-37-2
CMF H N O3

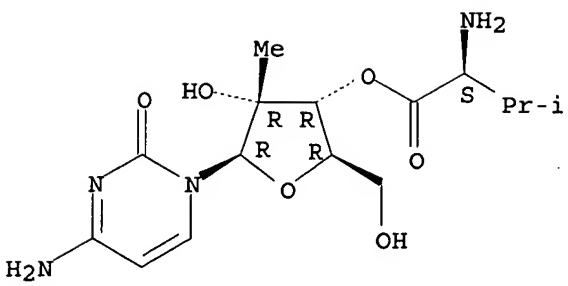


RN 642075-72-7 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, carbonate (salt) (9CI) (CA INDEX NAME)

CM 1

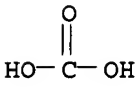
CRN 640281-90-9
CMF C15 H24 N4 O6

Absolute stereochemistry.



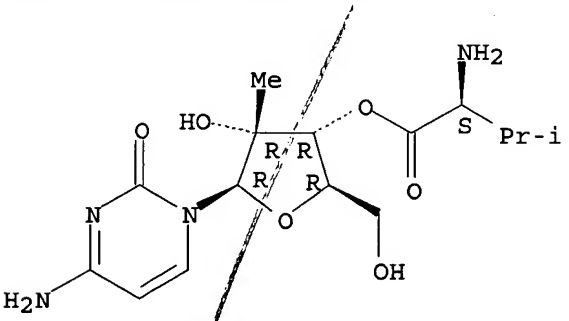
CM 2

CRN 463-79-6
CMF C H2 O3



RN 642075-74-9 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, hydrobromide (9CI) (CA INDEX NAME)

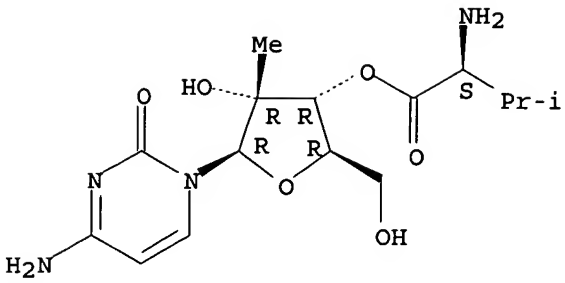
Absolute stereochemistry.



x HBr

RN 642075-75-0 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, hydriodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



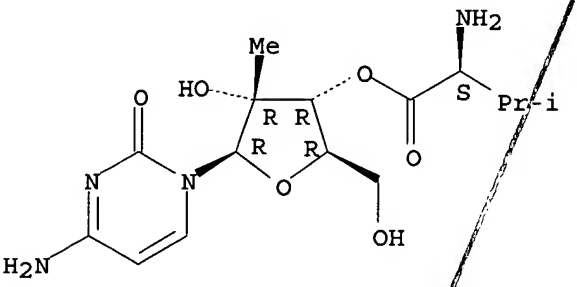
●x HI

RN 642075-76-1 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, carbonate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

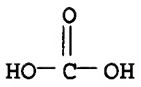
CRN 640281-90-9
CMF C15 H24 N4 O6

Absolute stereochemistry.



CM 2

CRN 463-79-6
CMF C H2 O3

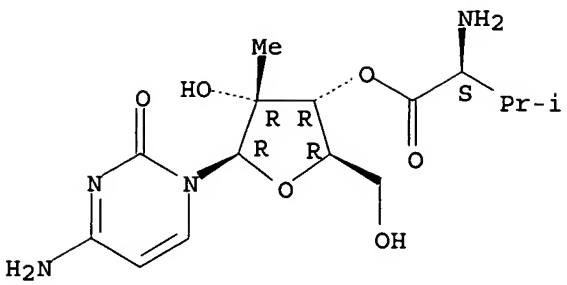


RN 642075-77-2 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, phosphate (salt) (9CI) (CA INDEX NAME)

CM 1

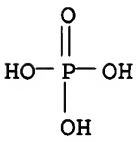
CRN 640281-90-9
CMF C15 H24 N4 O6

Absolute stereochemistry.



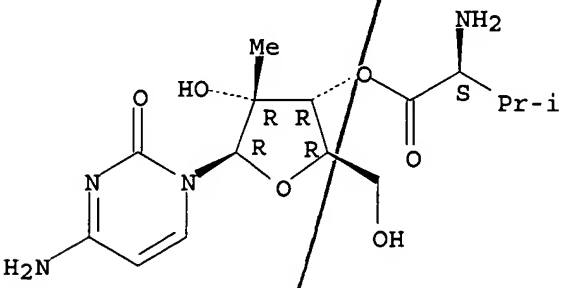
CM 2

CRN 7664-38-2
CMF H3 O4 P



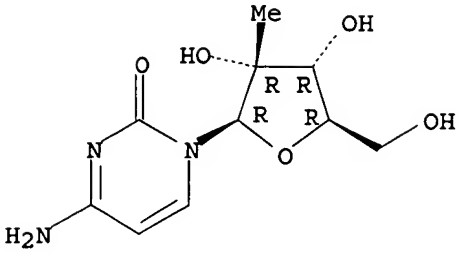
IT 640281-90-9P
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(ribofuranosylcytidine methylvaline ester for treatment of flaviviridae infections)
RN 640281-90-9 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine (9CI) (CA INDEX NAME)

Absolute stereochemistry.



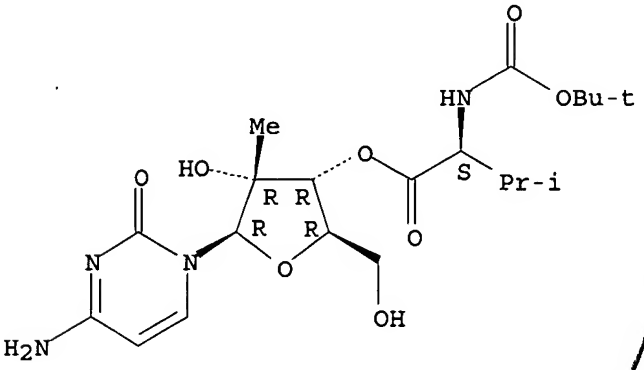
IT 20724-73-6P 640725-70-8P 642075-42-1P
642075-43-2P 642075-44-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(ribofuranosylcytidine methylvaline ester for treatment of flaviviridae infections)
RN 20724-73-6 CAPLUS
CN Cytidine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



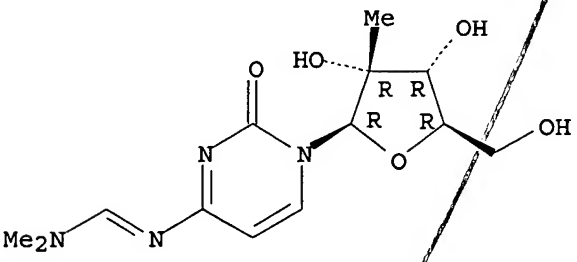
RN 640725-70-8 CAPLUS
CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]-, 3'-ester with 2'-C-methylcytidine (9CI) (CA INDEX NAME)

Absolute stereochemistry.



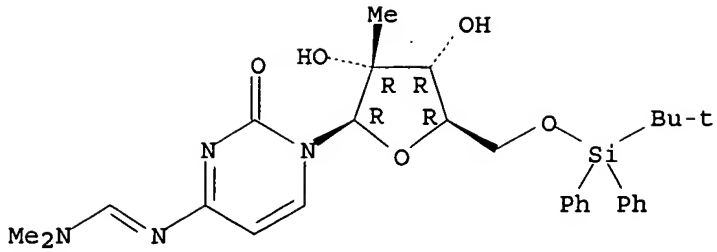
RN 642075-42-1 CAPLUS
CN Cytidine, N-[(dimethylamino)methylene]-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



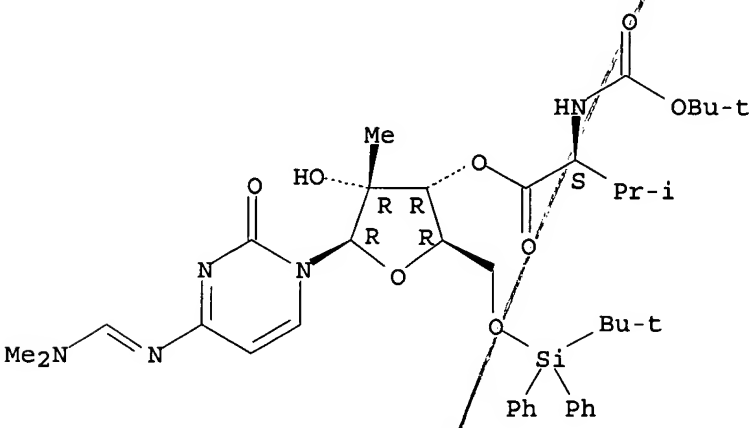
RN 642075-43-2 CAPLUS
CN Cytidine, N-[(dimethylamino)methylene]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



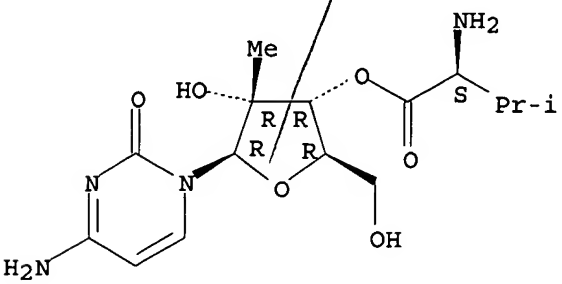
RN 642075-44-3 CAPLUS
CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]-, 3'-ester with 5'-O-[(1,1-dimethylethyl)diphenylsilyl]-N-[(dimethylamino)methylene]-2'-C-methylcytidine (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



IT 640725-71-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(ribofuranosylcytidine methylvaline ester for treatment of flaviviridae infections)
RN 640725-71-9 CAPLUS
CN L-Valine, 3'-ester with 2'-C-methylcytidine, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

L8 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:2898 CAPLUS
DN 140:42424
TI Preparation of nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase
IN Carroll, Steven S.; Olsen, David B.; Durette, Philippe L.; Bhat, Balkrishen; Dande, Prasad; Eldrup, Anne B.
PA Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.
SO PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004000858	A2	20031231	WO 2003-US19172	20030617
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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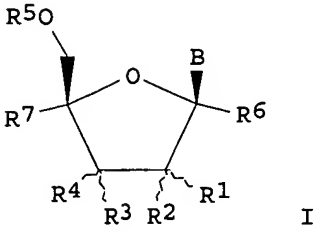
	AU 2003269890	A1	20040106	AU 2003-269890	20030617
	EP 1551421	A2	20050713	EP 2003-751777	20030617
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2005530843	T2	20051013	JP 2004-515870	20030617
PRAI	US 2002-390579P	P	20020621		
	WO 2003-US19172	W	20030617		

OS MARPAT 140:42424
GI



I

they use 2'-CH_2F, CHF_2, or CF_3 molecules

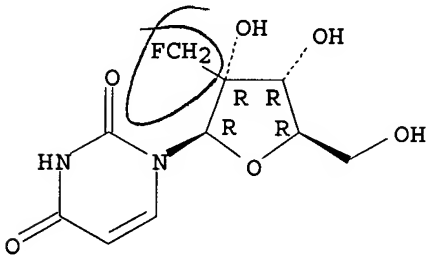
AB The present invention provides nucleoside compds. I, wherein B is nucleobase; R1 is fluoromethyl, difluoromethyl, trifluoromethyl; R2 is H, F, amino, OH, SH, alkoxy, alkylcarbonyloxy, alkyl; R3 and R4 are independently H, Cn, N3, halogen, OH, SH, amino, alkoxy, alkylcarconyloxy, alkenyl, alkynyl; R5 is H, alkylcarbonyl, P3O9H4, P2O6H3, phosphophonyl; R6 and R7 independently H, Me, hydroxymethyl, fluoromethyl; and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral

infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 2-amino-9-(2-C-fluoromethyl-β-D-ribofuranosyl)-3,9-dihydropurin-6-one was prepared and tested as inhibitor of RNA-dependent RNA viral polymerase. Title compds. tested in the HCV NS5B polymerase assay exhibited IC50's less than 100 μmol.

IT 510765-51-2P 636581-91-4P 636581-92-5P
636581-93-6P 636582-01-9P 636582-02-0P
636582-03-1P 636582-04-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside derivs. as inhibitors of RNA-dependent RNA viral polymerase)

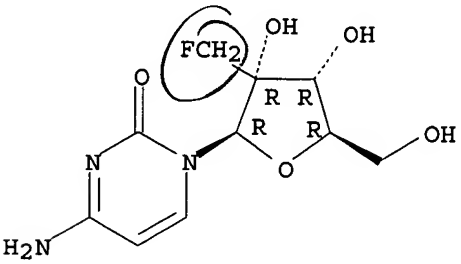
RN 510765-51-2 CAPLUS
CN Uridine, 2'-C-(fluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



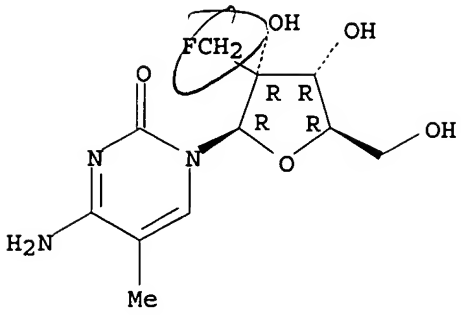
RN 636581-91-4 CAPLUS
CN Cytidine, 2'-C-(fluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



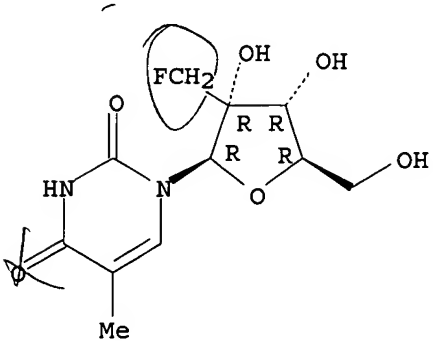
RN 636581-92-5 CAPLUS
CN Cytidine, 2'-C-(fluoromethyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



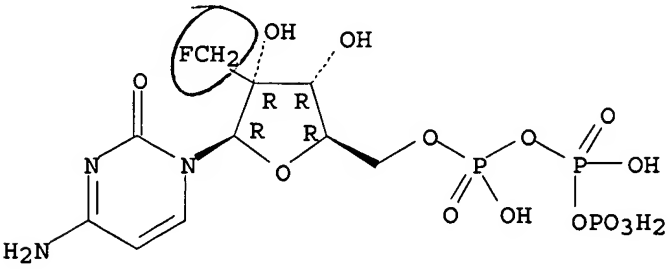
RN 636581-93-6 CAPLUS
CN Uridine, 2'-C-(fluoromethyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



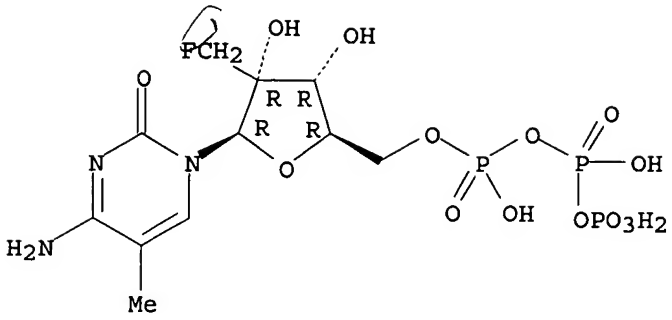
RN 636582-01-9 CAPLUS
CN Cytidine 5'-(tetrahydrogen triphosphate), 2'-C-(fluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



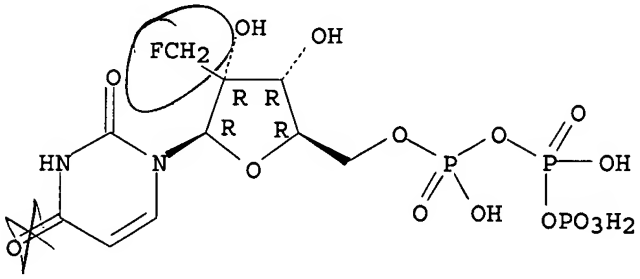
RN 636582-02-0 CAPLUS
CN Cytidine 5'-(tetrahydrogen triphosphate), 2'-C-(fluoromethyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



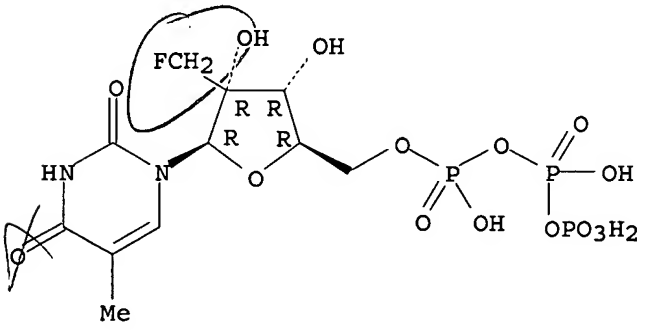
RN 636582-03-1 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 2'-C-(fluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 636582-04-2 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 2'-C-(fluoromethyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:892793 CAPLUS
DN 139:365176
TI Preparation of nucleoside derivatives for treating hepatitis C virus infection
IN Roberts, Christopher Don; Dyatkina, Natalia B.; Keicher, Jesse D.; Liehr, Sebastian Johannes Reinhard; Hanson, Eric Jason
PA Genelabs Technologies, Inc., USA
SO PCT Int. Appl., 182 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003093290	A2	20031113	WO 2003-US14237	20030506
	WO 2003093290	A3	20040318		
	WO 2003093290	C1	20050519		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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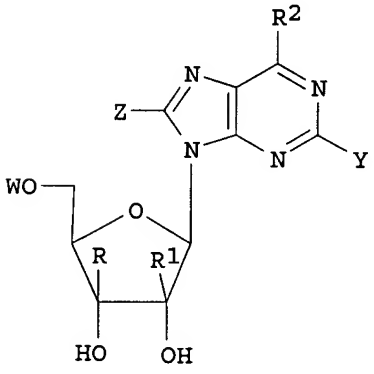
	AU 2003232071	A1	20031117	AU 2003-232071	20030506
	US 2004063658	A1	20040401	US 2003-431631	20030506
	EP 1501850	A2	20050202	EP 2003-747674	20030506
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	BR 2003009581	A	20050329	BR 2003-9581	20030506
	CN 1653077	A	20050810	CN 2003-810239	20030506
	JP 2005530759	T2	20051013	JP 2004-501429	20030506
	NO 2004005247	A	20041130	NO 2004-5247	20041130
PRAI	US 2002-378624P	P	20020506		
	US 2002-392871P	P	20020628		
	WO 2003-US14237	W	20030506		

OS MARPAT 139:365176

GI



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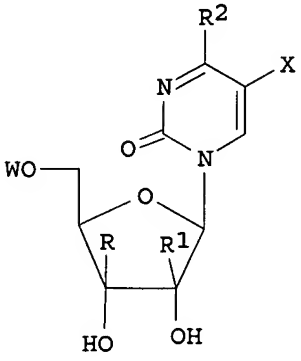
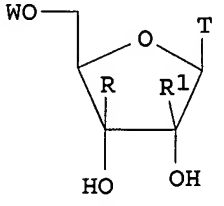


II



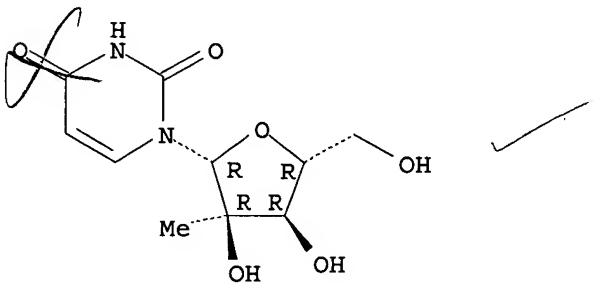
III

AB Nucleosides I-III, wherein R and R1 are independently H, alkyl, alkenyl, alkynyl, provided that R and R1 are not both H; R2 is alkyl, cycloalkyl, alkenyl, alkynyl, acylamino, guanidino, amidino, thioacylamino, OH, alkoxy, halo, nitro, aryl, heteroaryl, substituted amine; W is H, phosphate, phosphonate, acyl, alkyl, sulfonate, lipid, amino acid, sugar residue, peptide, cholesterol; X is H, halo, alkyl, substituted amine; Y is H, halo, OH, alkylthio, substituted amine; Z is H, halo, OH, alkyl, substituted amine; T is nucleobase, were prepared as HCV RNA polymerase inhibitors and for treating hepatitis C virus infections. Thus, 2-(4-amino-pyrrolo[3,2-c]pyridin-1-yl)-5-hydroxymethyl-3-methyltetrahydro-furan-3,4-diol was prepared for treating hepatitis C virus infections (no data). Different kind of formulation such as tablet, capsule, suspension, injectable, and suppository formulation are reported.

IT 31448-54-1P 119410-84-3P 622380-43-2P
622380-45-4P 622380-47-6P 622380-48-7P
622380-51-2P 622380-52-3P 622380-56-7P
622380-57-8P 622380-58-9P 622380-59-0P
622380-60-3P 622380-61-4P 622380-87-4P
622381-09-3P 622381-10-6P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside derivs. for treating hepatitis C virus infection)

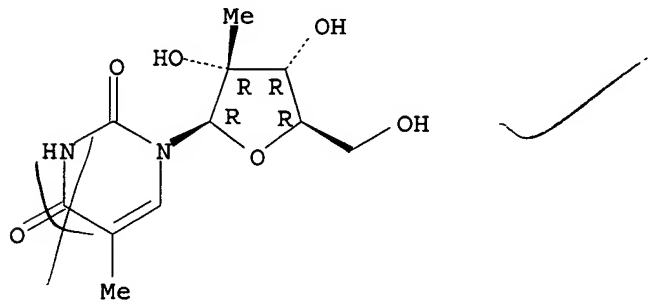
RN 31448-54-1 CAPLUS
CN Uridine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 119410-84-3 CAPLUS
CN Uridine, 5-methyl-2'-C-methyl- (9CI) (CA INDEX NAME)

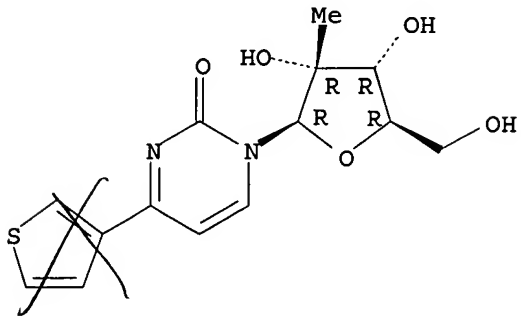
Absolute stereochemistry.



RN 622380-43-2 CAPLUS
CN 2(1H)-Pyrimidinone, 1-(2-C-methyl-β-D-ribofuranosyl)-4-(3-thienyl)-

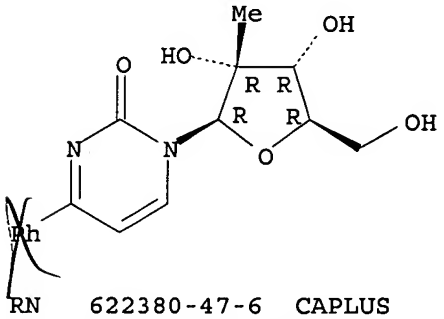
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



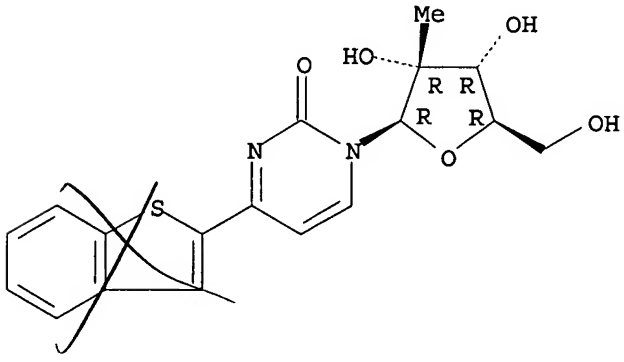
RN 622380-45-4 CAPLUS
CN 2(1H)-Pyrimidinone, 1-(2-C-methyl-β-D-ribofuranosyl)-4-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



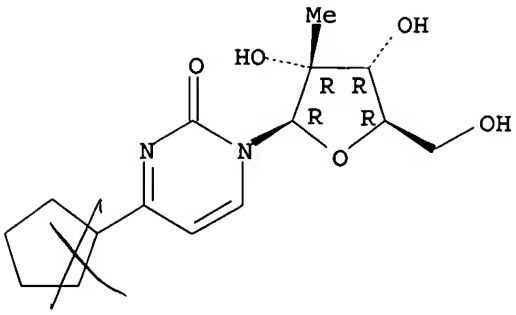
RN 622380-47-6 CAPLUS
CN 2(1H)-Pyrimidinone, 4-benzo[b]thien-2-yl-1-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



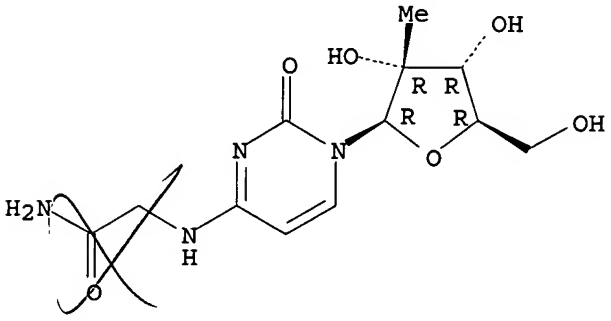
RN 622380-48-7 CAPLUS
CN 2(1H)-Pyrimidinone, 4-cyclopentyl-1-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



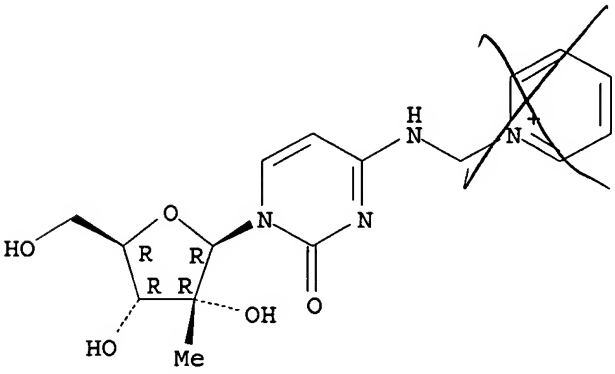
RN 622380-51-2 CAPLUS
CN Cytidine, N-(2-amino-2-oxoethyl)-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



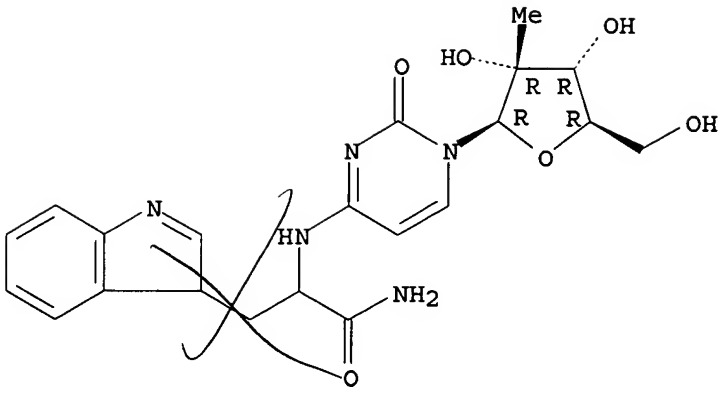
RN 622380-52-3 CAPLUS
CN Pyridinium, 1-[[[1,2-dihydro-1-(2-C-methyl-β-D-ribofuranosyl)-2-oxo-4-pyrimidinyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



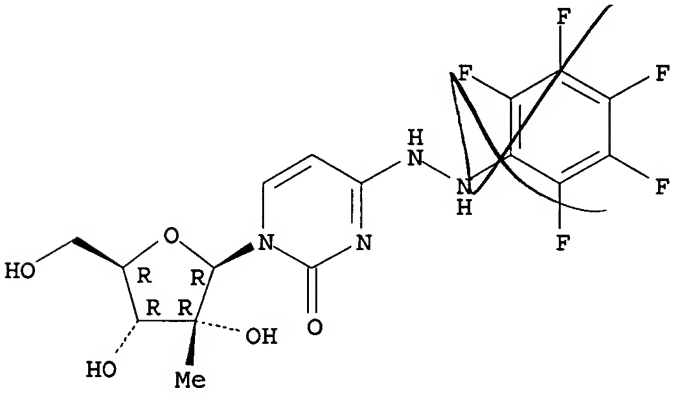
RN 622380-56-7 CAPLUS
CN Cytidine, N-[2-amino-1-(3H-indol-3-ylmethyl)-2-oxoethyl]-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



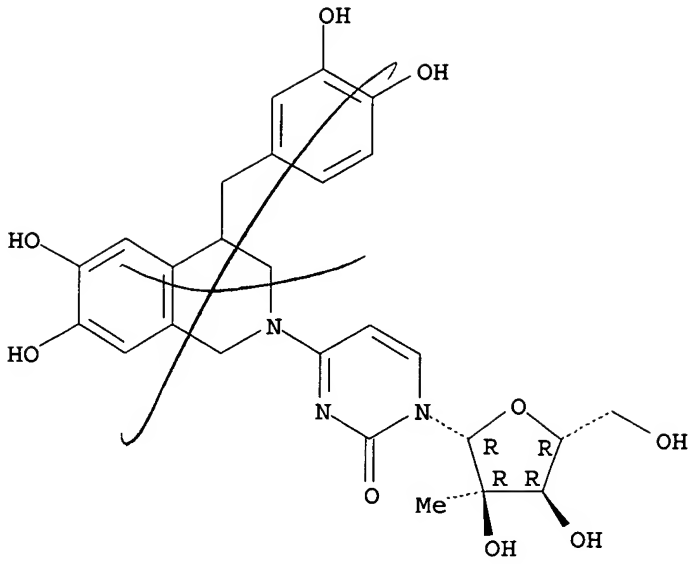
RN 622380-57-8 CAPLUS
CN Uridine, 2'-C-methyl-, 4-[(pentafluorophenyl)hydrazone] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



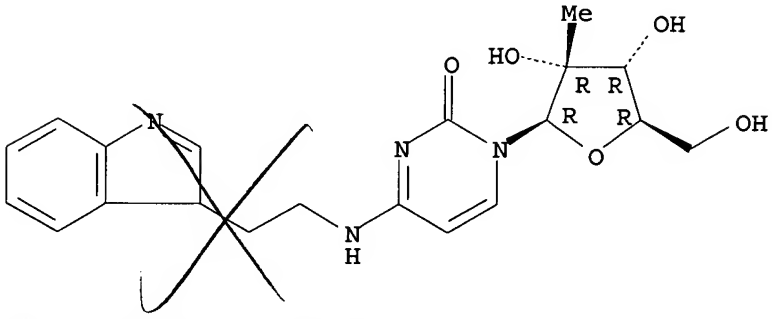
RN 622380-58-9 CAPLUS
CN 2(1H)-Pyrimidinone, 4-[4-[(3,4-dihydroxyphenyl)methyl]-3,4-dihydro-6,7-dihydroxy-2(1H)-isoquinolinyl]-1-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



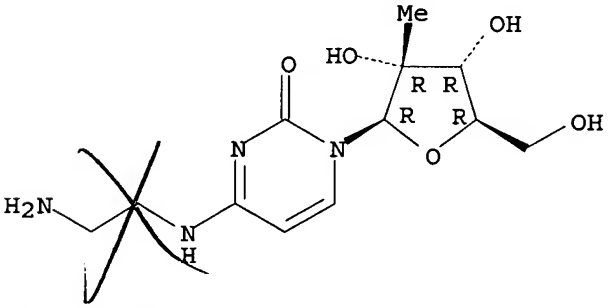
RN 622380-59-0 CAPLUS
CN Cytidine, N-[2-(3H-indol-3-yl)ethyl]-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



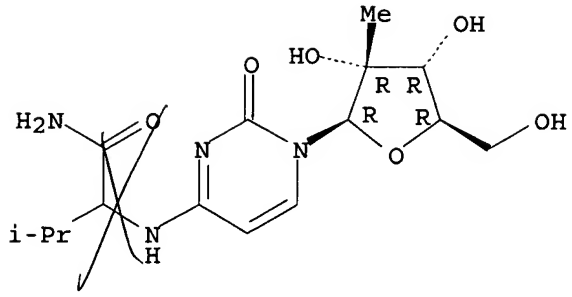
RN 622380-60-3 CAPLUS
CN Cytidine, N-(2-aminoethyl)-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



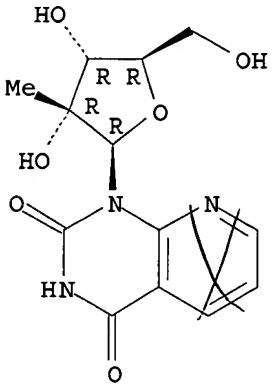
RN 622380-61-4 CAPLUS
CN Cytidine, N-[1-(aminocarbonyl)-2-methylpropyl]-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



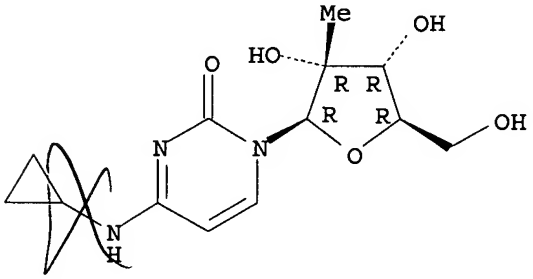
RN 622380-87-4 CAPLUS
CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 1-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



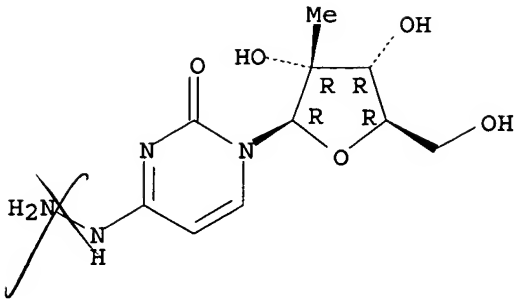
RN 622381-09-3 CAPLUS
CN Cytidine, N-cyclopropyl-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 622381-10-6 CAPLUS
CN Uridine, 2'-C-methyl-, 4-hydrazone (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:591195 CAPLUS
DN 139:133789
TI Preparation of sugar modified nucleosides as antiviral agents
IN Hong, Zhi; An, Haoyun; Ding, Yili; Girardet, Jean-luc; Zhong, Weidong
PA Ribapharm Inc., USA
SO PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003062255	A2	20030731	WO 2002-US31556	20021002
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1572705	A2	20050914	EP 2002-776103	20021002
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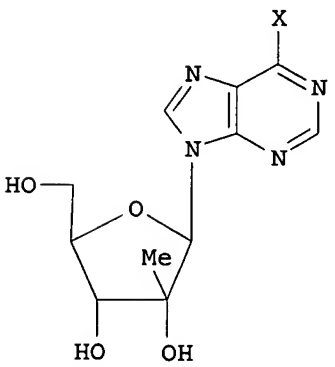
PRAI	US 2002-350296P	P	20020117		
	US 2002-391800P	P	20020626		
	WO 2002-US31556	W	20021002		

OS MARPAT 139:133789
GI



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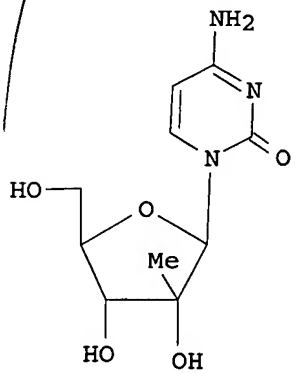


II

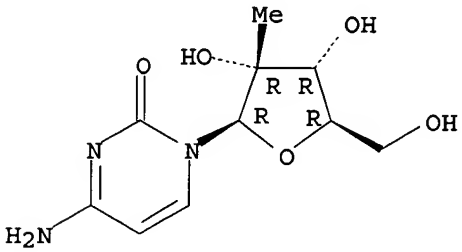
use 4' substituted
not 2' substituted

AB Various 2'-modified nucleoside analogs I and II wherein X is NH2, NHMe, NMe2, OMe, SMe, and corresponding prodrugs are provided, and particularly contemplated methods of use include use as antiviral agents, and especially as antiviral agents against HCV.

IT 20724-73-6 31448-54-1 119410-84-3
565451-07-2 565451-08-3 565451-09-4
565451-10-7 565451-11-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of sugar modified nucleosides as antiviral agents)

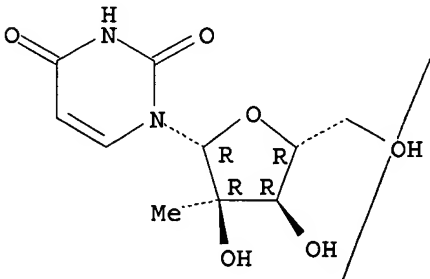
RN 20724-73-6 CAPLUS
CN Cytidine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



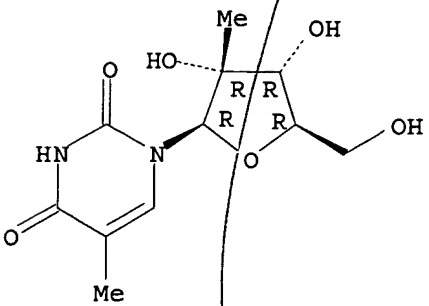
RN 31448-54-1 CAPLUS
CN Uridine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



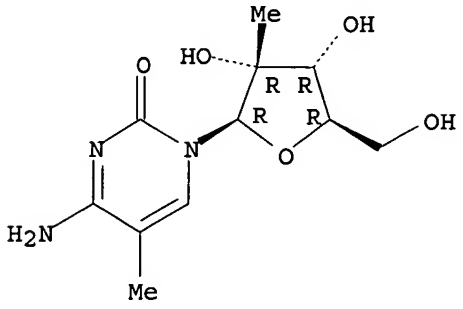
RN 119410-84-3 CAPLUS
CN Uridine, 5-methyl-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



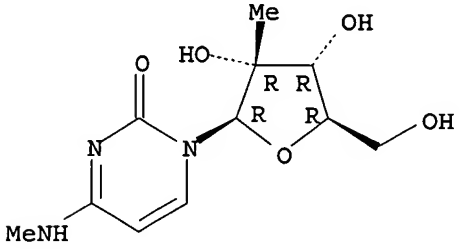
RN 565451-07-2 CAPLUS
CN Cytidine, 5-methyl-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



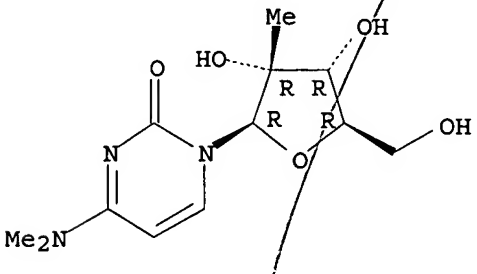
RN 565451-08-3 CAPLUS
CN Cytidine, N-methyl-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



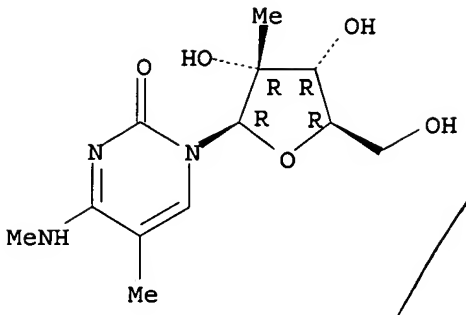
RN 565451-09-4 CAPLUS
CN Cytidine, N,N-dimethyl-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



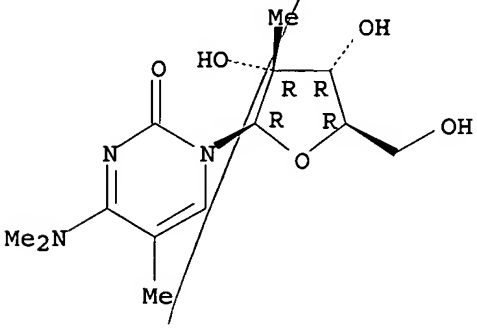
RN 565451-10-7 CAPLUS
CN Cytidine, N,5-dimethyl-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 565451-11-8 CAPLUS
CN Cytidine, N,N,5-trimethyl-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:555629 CAPLUS
DN 137:125359
TI Preparation of nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase
IN Carroll, Steven S.; Lafemina, Robert L.; Hall, Dawn L.; Himmelberger, Amy L.; Kuo, Lawrence C.; Maccoss, Malcolm; Olsen, David B.; Rutkowski, Carrie A.; Tomassini, Joanne E.; An, Haoyun; Bhat, Balkrishen; Bhat, Neelima; Cook, Phillip Dan; Eldrup, Anne B.; Guinosso, Charles J.; Prhavc, Marija; Prakash, Thazha P.
PA Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.
SO PCT Int. Appl., 235 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002057425	A2	20020725	WO 2002-US1531	20020118
WO 2002057425	A3	20050421		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2433878	AA	20020725	CA 2002-2433878	20020118

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	US 6777395	B2	20040817		
	CN 1498221	A	20040519	CN 2002-806977	20020118
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	US 2004067901	A1	20040408	US 2003-688691	20031017
	US 2004110717	A1	20040610	US 2004-250873	20040116
	US 2005272676	A1	20051208	US 2005-200499	20050809
PRAI	US 2001-263313P	P	20010122		
	US 2001-282069P	P	20010406		
	US 2001-299320P	P	20010619		
	US 2001-344528P	P	20011025		
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	WO 2002-US1531	W	20020118		
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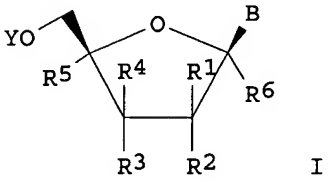
OS MARPAT 137:125359

GI



I

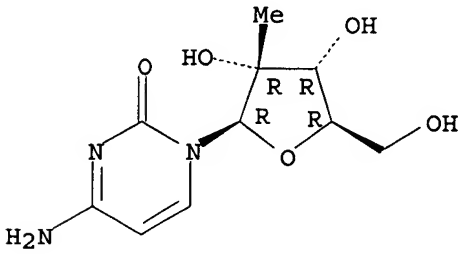
AB The present invention provides the preparation of nucleoside compds. I, wherein B is nucleobase, Y is H, alkylcarbonyl, phosphate; R1 is H, alkenyl, alkynyl, alkyl; R2 and R3 are independently H, OH, halogen, alkyl, alkoxy, alkenyloxy, alkylthio, alkylcarbonyloxy, aryloxycrbonyl, azido, amino, alkylamino; R1 and R2 together with the carbon atom to which they are attached form a 3- to 6-membered heterocycle; R4 is H, OH, SH, NH2, alkylamino, cycloalkylamino, halogen, alkyl, alkoxy, CF3; R5 and R6 are independently H, hydroxymethyl, Me, fluoromethyl; and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 4-amino-1-(2-C-methyl-β-D-ribofuranosyl)-1H-pyrazolo[3,4-d]pyrimidine was prepared as inhibitors of RNA-dependent RNA viral polymerase. Representative compds. tested in the HCV NS5B polymerase assay exhibited IC's less than 100 μM. The compds. of the present invention were also evaluated for their ability to affect the replication of Hepatitis C Virus RNA in cultured hepatoma (HuH-7) cells containing a sub-genomic HCV Replicon.

IT 20724-73-6P 114262-49-6P 374750-28-4P
444019-82-3P 444020-83-1P 444022-03-1P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside derivs. as inhibitors of RNA-dependent human RNA viral polymerase)

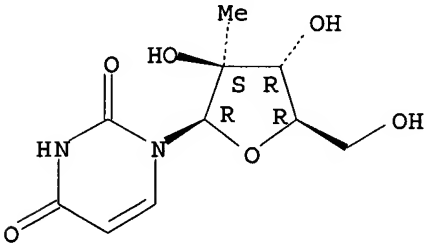
RN 20724-73-6 CAPLUS
CN Cytidine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



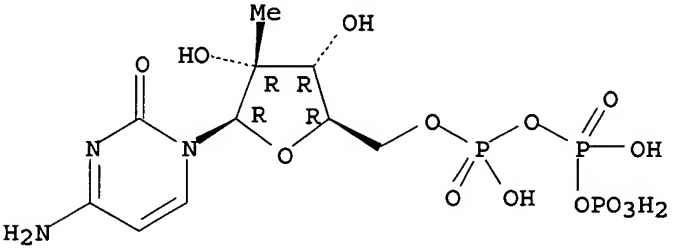
RN 114262-49-6 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-C-methyl-β-D-arabinofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



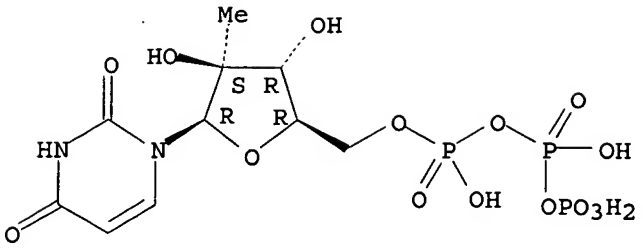
RN 374750-28-4 CAPLUS
CN Cytidine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



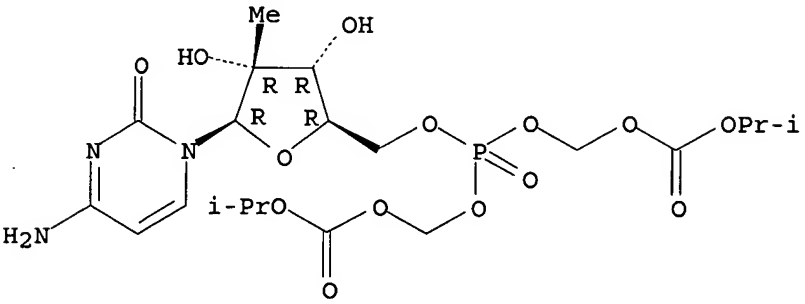
RN 444019-82-3 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-O-[hydroxy[[hydroxy(phosphonooxy)phosphinyl]oxy]phosphinyl]-2-C-methyl-β-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



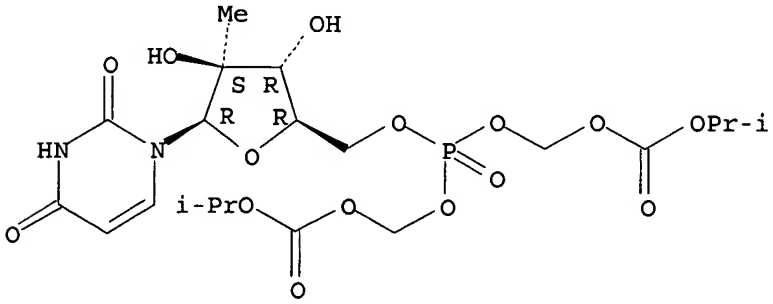
RN 444020-83-1 CAPLUS
CN 5'-Cytidylic acid, 2'-C-methyl-, bis[[[(1-methylethoxy)carbonyl]oxy]methyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 444022-03-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-C-methyl-5-O-[7-methyl-1-[[[(1-methylethoxy)carbonyl]oxy]methoxy]-1-oxido-5-oxo-2,4,6-trioxa-1-phosphaoct-1-yl]-β-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:886155 CAPLUS
DN 136:590
TI Methods and compositions using modified nucleosides for treating flaviviruses and pestiviruses
IN Sommadossi, Jean-Pierre; Lacolla, Paolo
PA Novirio Pharmaceuticals Limited, Cayman I.; Universita Degli Studi Di Cagliari
SO PCT Int. Appl., 302 pp.
CODEN: PIXXD2
DT Patent

LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001092282	A2	20011206	WO 2001-US16687	20010523
	WO 2001092282	A3	20020502		
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	EP 1294735	A2	20030326	EP 2001-952131	20010523
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	US 2003060400	A1	20030327	US 2001-863816	20010523
	US 6812219	B2	20041102		
	BR 2001011196	A	20040406	BR 2001-11196	20010523
	JP 2004510698	T2	20040408	JP 2002-500895	20010523
	NO 2002005600	A	20030117	NO 2002-5600	20021121
	ZA 2002010112	A	20040623	ZA 2002-10112	20021212
	US 2004063622	A1	20040401	US 2003-602693	20030620
	US 2004097462	A1	20040520	US 2003-602692	20030620
	US 2004102414	A1	20040527	US 2003-602694	20030620
PRAI	US 2000-207674P	P	20000526		
	US 2001-283276P	P	20010411		
	US 2001-863816	A3	20010523		
	WO 2001-US16687	W	20010523		

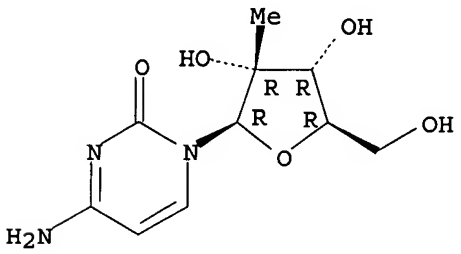
OS MARPAT 136:590

AB A method and composition are provided for treating a host infected with flavivirus or pestivirus, comprising administering an effective amount of a 1', 2' or 3'-modified nucleoside or a pharmaceutically acceptable salt or prodrug thereof.

IT 20724-73-6 31448-54-1 119410-84-3
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nucleoside derivs. for treating flaviviruses and pestiviruses)

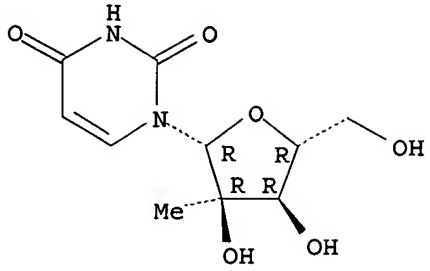
RN 20724-73-6 CAPLUS
CN Cytidine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



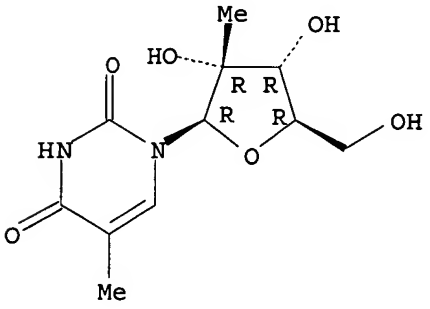
RN 31448-54-1 CAPLUS
CN Uridine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



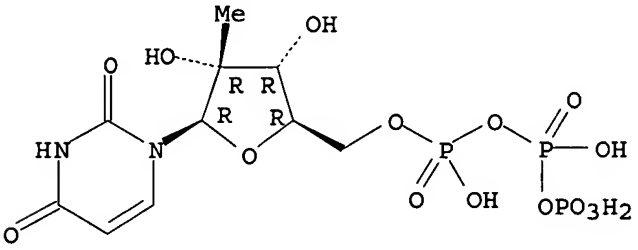
RN 119410-84-3 CAPLUS
CN Uridine, 5-methyl-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



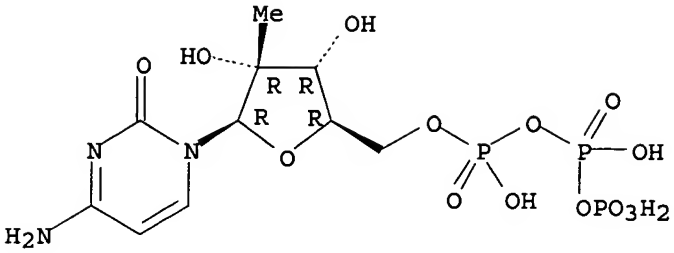
IT 125911-76-4 374750-28-4
RL: BSU (Biological study, unclassified); PKT (Pharmacokinetics); BIOL (Biological study)
(nucleoside derivs. for treating flaviviruses and pestiviruses)
RN 125911-76-4 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 374750-28-4 CAPLUS
CN Cytidine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:868467 CAPLUS
DN 136:6296
TI Preparation of antiviral nucleosides and methods for treating hepatitis C virus
IN Sommadossi, Jean-Pierre; Lacolla, Paulo
PA Novirio Pharmaceuticals Limited, Cayman I.; Universita degli Studi di Cagliari
SO PCT Int. Appl., 296 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001090121	A2	20011129	WO 2001-US16671	20010523
	WO 2001090121	A3	20020502		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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	US 2003050229	A1	20030313	US 2001-864078	20010523
	US 6914054	B2	20050705		
	EP 1292603	A2	20030319	EP 2001-941564	20010523
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
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	JP 2004533401	T2	20041104	JP 2001-586308	20010523
	NZ 522863	A	20050729	NZ 2001-522863	20010523
	EP 1669364	A2	20060614	EP 2006-75216	20010523
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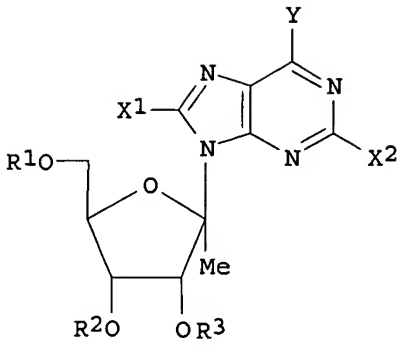
	NO 2002005627	A	20030106	NO 2002-5627	20021122
	ZA 2002010101	A	20040614	ZA 2002-10101	20021212
	US 2004097461	A1	20040520	US 2003-602691	20030620
	US 2004101535	A1	20040527	US 2003-602976	20030620
	US 2005124532	A1	20050609	US 2003-602142	20030620
	US 2005137161	A1	20050623	US 2003-602136	20030620
PRAI	US 2000-206585P	P	20000523		
	EP 2001-941564	A3	20010523		
	US 2001-864078	A1	20010523		
	WO 2001-US16671	W	20010523		

OS MARPAT 136:6296

GI



I

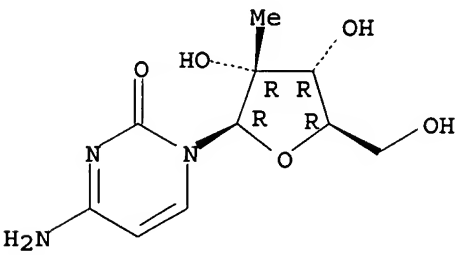
AB A method and composition for treating a host infected with hepatitis C comprising administering an effective hepatitis C treatment amount of a described 1'-, 2'- or 3'-modified nucleosides I, wherein : R1-R3 and R are independently H, phosphate (including mono, di- or triphosphate and a stabilized phosphate prodrug); acyl; alkyl; sulfonate ester including alkyl or arylalkyl sulfonyl including methanesulfonyl and benzyl, wherein the Ph group is optionally substituted with one or more substituents as described in the definition of aryl given herein; a lipid, including a phospholipid; an amino acid; a carbohydrate; a peptide; a cholesterol; or other pharmaceutically acceptable leaving group which when administered in vivo is capable of providing a compound wherein R1-R3 are independently H or phosphate; Y is hydrogen, bromo, chloro, fluoro, iodo, OR4, NR4R5 or SR4; X1 and X2 are independently selected from the group consisting of H, straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, chloro, bromo, fluoro, iodo, OR4, NR4R5 or SR4; and R4 and R5 are independently hydrogen, acyl, alkyl.or a pharmaceutically acceptable salt or prodrug thereof, is provided. Thus, I (R1-R3 = X1 = X2 = H, Y = NH2) was prepared and tested in Cynomolgus monkeys as antiviral agent. Oral bioavailability in monkeys, bone human bone marrow toxicity (IC50 > 10 μM), and mitochondrial toxicity, were reported .

IT 20724-73-6P 31448-54-1P 119410-84-3P
125911-76-4P 374750-28-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antiviral nucleosides and methods for treating hepatitis C virus)

RN 20724-73-6 CAPLUS

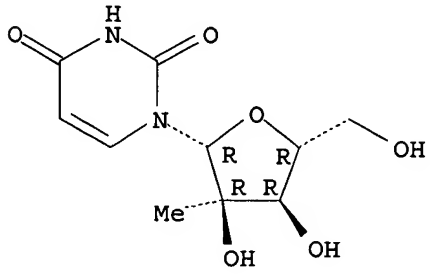
CN Cytidine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



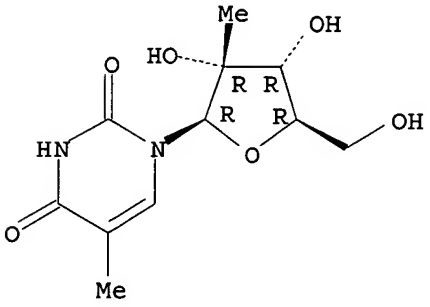
RN 31448-54-1 CAPLUS
CN Uridine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



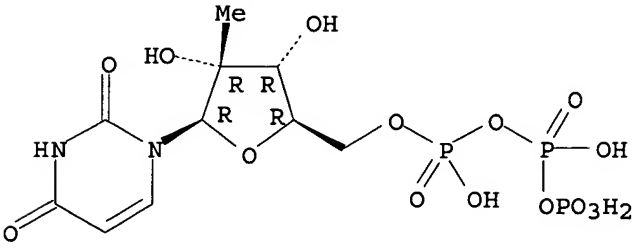
RN 119410-84-3 CAPLUS
CN Uridine, 5-methyl-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



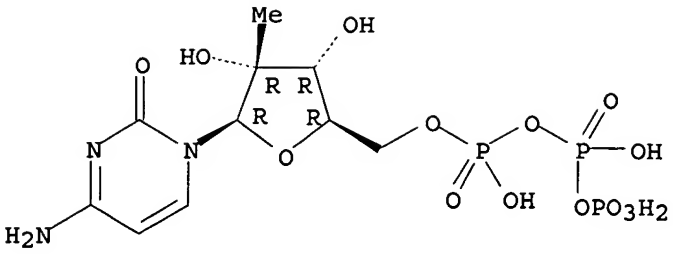
RN 125911-76-4 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 374750-28-4 CAPLUS
CN Cytidine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.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OH
O
OH
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